

BY MAILING OR PERSONALLY WITH QUANTITY BILLS, PLEASE REMIT A COPY OF THE COVER SHEET, CLAIMS, AND ABSTRACT OR FILL OUT THE FOLLOWING:

Title of Invention: See Bib Data Sheet

Inventors (please provide full names): _____

Earliest Priority Date: _____

Search Topics:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

See claims attached. Please do structure search and inventor name(s) search. Display results to show identification of source, and R#*, compound name & structure of identified compounds. Search Compound of Formula I, ~~as~~ as defined in elected Group II.

INVENTOR SEARCH

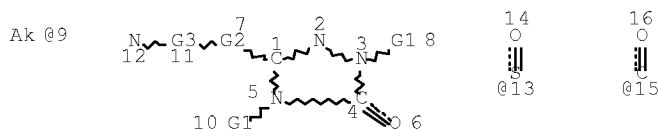
=> d his 121

(FILE 'HCAPLUS' ENTERED AT 12:21:51 ON 24 MAR 2008)

L21 24 S L17 OR L18 OR L20

=> d que 121

L2 49 SEA FILE=REGISTRY ABB=ON PLU=ON (100-53-8/BI OR
 100991-09-1/BI OR 14001-66-2/BI OR 146480-36-6/BI OR
 14874-70-5/BI OR 16110-09-1/BI OR 177984-27-9/BI OR
 177984-28-0/BI OR 252742-72-6/BI OR 260441-44-9/BI OR
 2899-66-3/BI OR 477904-80-6/BI OR 5382-16-1/BI OR
 55444-67-2/BI OR 563-41-7/BI OR 73901-41-4/BI OR
 79099-07-3/BI OR 866602-59-7/BI OR 866602-60-0/BI OR
 866602-61-1/BI OR 866602-62-2/BI OR 866602-63-3/BI OR
 866602-64-4/BI OR 866602-65-5/BI OR 866602-66-6/BI OR
 866602-67-7/BI OR 866602-68-8/BI OR 866602-69-9/BI OR
 866602-70-2/BI OR 866602-71-3/BI OR 866602-72-4/BI OR
 866602-73-5/BI OR 866602-74-6/BI OR 866602-75-7/BI OR
 866602-76-8/BI OR 866602-77-9/BI OR 866602-78-0/BI OR
 866602-79-1/BI OR 866602-80-4/BI OR 866602-81-5/BI OR
 866602-82-6/BI OR 866602-83-7/BI OR 866602-84-8/BI OR
 866602-85-9/BI OR 866602-86-0/BI OR 866602-88-2/BI OR
 866602-89-3/BI OR 866602-90-6/BI OR 9004-06-2/BI)
 L5 STR



VAR G1=H/9
 REP G2=(1-3) C
 VAR G3=15/13/SO2
 NODE ATTRIBUTES:
 NSPEC IS RC AT 12
 CONNECT IS E1 RC AT 6
 CONNECT IS E1 RC AT 14
 CONNECT IS E1 RC AT 16
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS M1-X6 C AT 9

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L7 27 SEA FILE=REGISTRY SSS FUL L5
 L8 15 SEA FILE=REGISTRY ABB=ON PLU=ON L7 AND L2
 L9 10 SEA FILE=HCAPLUS ABB=ON PLU=ON L7
 L10 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L8
 L11 10 SEA FILE=HCAPLUS ABB=ON PLU=ON L9 OR L10
 L12 SEL PLU=ON L7 1- NAME : 15 TERMS
 L13 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L12
 L14 10 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 OR L13
 L15 491 SEA FILE=HCAPLUS ABB=ON PLU=ON ERIKSSON A?/AU
 L16 20 SEA FILE=HCAPLUS ABB=ON PLU=ON LEPISTOE M?/AU
 L17 6 SEA FILE=HCAPLUS ABB=ON PLU=ON L15 AND L16
 L18 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L14 AND ((L15 OR
 L16))

10/593,543

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L19      QUE  ABB=ON  PLU=ON  ASTRAZENECA?/PA,CS,SO,CO
L20      24 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ((L15 OR L16)) AND
          L19
L21      24 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 OR L18 OR L20
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=> d his 126

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(FILE 'MEDLINE, BIOSIS, DRUGU, EMBASE' ENTERED AT 12:27:59 ON 24
MAR 2008)
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L26      20 S L22 OR L25
          SAV TEMP L23 JAI543MULT/A
          SAV TEMP L26 JAI543MULTIN/A
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FILE 'HCAPLUS' ENTERED AT 12:30:40 ON 24 MAR 2008
          SAV TEMP L21 JAI543HCPIN/A
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FILE 'STNGUIDE' ENTERED AT 12:31:21 ON 24 MAR 2008
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=> d que 126

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L15      491 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ERIKSSON A?/AU
L16      20 SEA FILE=HCAPLUS ABB=ON  PLU=ON  LEPISTOE M?/AU
L17      6 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L15 AND L16
L19      QUE  ABB=ON  PLU=ON  ASTRAZENECA?/PA,CS,SO,CO
L22      0 SEA L17
L24      1926 SEA ((L15 OR L16))
L25      20 SEA L24 AND L19
L26      20 SEA L22 OR L25
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=> dup rem 121 126

PROCESSING COMPLETED FOR L21

PROCESSING COMPLETED FOR L26

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L27      29 DUP REM L21 L26 (15 DUPLICATES REMOVED)
          ANSWERS '1-24' FROM FILE HCAPLUS
          ANSWERS '25-27' FROM FILE BIOSIS
          ANSWER '28' FROM FILE DRUGU
          ANSWER '29' FROM FILE EMBASE
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INVENTOR SEARCH RESULTS

=> d 127 1-29 ibib ed ab

L27 ANSWER 1 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2007:981954 HCAPLUS Full-text

DOCUMENT NUMBER: 147:484596

TITLE: A stop codon mutation in SCN9A causes lack of pain sensation

AUTHOR(S): Ahmad, Sultan; Dahllund, Leif; Eriksson, Anders B.; Hellgren, Dennis; Karlsson, Urban; Lund, Per-Eric; Meijer, Inge A.; Meury, Luc; Mills, Tracy; Moody, Adrian; Morinville, Anne; Morten, John; O'Donnell, Dajan; Raynoschek, Carina; Salter, Hugh; Rouleau, Guy A.; Krupp, Johannes J.

CORPORATE SOURCE: AstraZeneca R&D Montreal, Department of Molecular Sciences, Ville-St-Laurent, Quebec, Can.

SOURCE: Human Molecular Genetics (2007), 16(17), 2114-2121

CODEN: HMGEE5; ISSN: 0964-6906

PUBLISHER: Oxford University Press

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 04 Sep 2007

AB The general lack of pain experience is a rare occurrence in humans, and the mol. causes for this phenotype are not well understood. Here we have studied a Canadian family from Newfoundland with members who exhibit a congenital inability to experience pain. We have mapped the locus to a 13.7 Mb region on chromosome 2q (2q24.3-2q31.1). Screening of candidate genes in this region identified a protein-truncating mutation in SCN9A, which encodes for the voltage-gated sodium channel Nav1.7. The mutation is a C-A transversion at nucleotide 984 transforming the codon for tyrosine 328 to a stop codon. The predicted product lacks all pore-forming regions of Nav1.7. Indeed, expression of this altered gene in a cell line did not produce functional responses, nor did it cause compensatory effects on endogenous voltage-gated sodium currents when expressed in ND7/23 cells. Because a homozygous knockout of Nav1.7 in mice has been shown to be lethal, we explored why a deficiency of Nav1.7 is non-lethal in humans. Expression studies in monkey, human, mouse and rat tissue indicated species-differences in the Nav1.7 expression profile. Whereas in rodents the channel was strongly expressed in hypothalamic nuclei, only weak mRNA levels were detected in this area in primates. Furthermore, primate pituitary and adrenal glands were devoid of signal, whereas these two glands were mRNA-pos. in rodents. This species difference may explain the non-lethality of the observed mutation in humans. Our data further establish Nav1.7 as a critical element of peripheral nociception in humans.

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 2 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2007:859646 HCAPLUS Full-text

DOCUMENT NUMBER: 147:314680

TITLE: Short-term effects of metformin in type 2 diabetes

AUTHOR(S): Eriksson, A.; Attvall, S.; Bonnier, M.; Eriksson, J. W.; Rosander, B.; Karlsson, F. A.

CORPORATE SOURCE: AstraZeneca, Moelndal, Swed.

SOURCE: Diabetes, Obesity and Metabolism (2007), 9(4), 483-489

CODEN: DOMEF6; ISSN: 1462-8902

PUBLISHER: Blackwell Publishing Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 07 Aug 2007

AB Background: Although metformin is widely used in the management of type 2 diabetes, its mechanism(s) of action is not fully known, and there have been remarkably few reports on short-term effects of the drug. Here, we examined the early effects on glucose and lipid metabolism and on certain adipose tissue and inflammatory markers during treatment for 28 days. Methods: Twenty-one patients were randomized to metformin (n = 16) or placebo (n = 5) and studied at baseline, 1, 2 and 4 wk with blood sampling and oral glucose tolerance tests (OGTT). The active group received 500 mg metformin daily in the first week, 500 mg twice daily during week 2 and 1000 mg twice daily during weeks 3 and 4. Results: After 7 days of treatment, a reduced area under curve (AUC) for glucose at OGTT with no change in AUC for insulin levels was observed compared to baseline. Insulin sensitivity, as derived from the OGTT by Gutt's index, was increased. Redns. in fasting plasma glucose, total cholesterol and low-d. lipoprotein cholesterol appeared after 14 days, and redns. in triglycerides, plasminogen activator inhibitor-1 (PAI-1) and leptin after 28 days of treatment. There were no changes in body weight, adiponectin or C-reactive protein. Compared with placebo, the changes between day 0 and day 28 differed significantly with regard to AUC for glucose at OGTT and Gutt's index, and showed strong trends for PAI-1 and leptin. Conclusions: The data demonstrate that in type 2 diabetes, metformin rapidly affects glucose handling without changing the concns. of insulin. Redns. in PAI-1 and leptin levels indicate that the early effects of metformin involve also the adipose tissue.

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 3 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2007:580704 HCAPLUS Full-text
DOCUMENT NUMBER: 147:181202
TITLE: Short-term effects of metformin in type 2
diabetes
AUTHOR(S): Eriksson, A.; Attvall, S.; Bonnier,
M.; Eriksson, J. W.; Rosander, B.; Karlsson,
F. A.
CORPORATE SOURCE: AstraZeneca, Moelndal, Swed.
SOURCE: Diabetes, Obesity and Metabolism (2007), 9(3),
330-336
CODEN: DOMEF6; ISSN: 1462-8902
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

ED Entered STN: 30 May 2007

AB Background: Although metformin is widely used in the management of type 2 diabetes, its mechanism(s) of action is not fully known, and there have been remarkably few reports on short-term effects of the drug. Here, we examined early effects on glucose and lipid metabolism, and on certain adipose tissue and inflammatory markers during treatment for 28 days. Methods: Twenty-one patients were randomized to metformin (n = 16) or placebo (n = 5) and studied at baseline, 1, 2 and 4 wk with blood sampling and oral glucose tolerance tests (OGTT). The active group received 500 mg metformin daily in week 1, 500 mg twice daily in week 2 and 1000 mg twice daily in week 3 and 4. Results: After 7 days of treatment, a reduced area under curve (AUC) for glucose at OGTT with no change in AUC for insulin levels was observed compared with baseline. Insulin sensitivity, as derived from the OGTT by Gutt's index, was increased. Redns. in fasting plasma glucose, total and LDL-cholesterol appeared after 14 days, and redns. in triglycerides, plasminogen activator inhibitor-1 (PAI-1) and leptin after 28 days of treatment. There were no changes in body weight, adiponectin or C-reactive protein. Compared with placebo, the changes between day 0 and day 28 differed significantly with regard to AUC for glucose at OGTT and Gutt's index, and showed strong trends for PAI-1 and leptin. Conclusions: The data demonstrate that in type 2 diabetes metformin rapidly affects glucose handling without changing the concns. of insulin. Redns. in PAI-1 and leptin levels indicate that the early effects of metformin involve also the adipose tissue.

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 4 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2004:420679 HCAPLUS Full-text
DOCUMENT NUMBER: 141:301247

TITLE: Food effects on tablet disintegration
 AUTHOR(S): Abrahamsson, Bertil; Albery, Tamsin;
 Eriksson, Anna; Gustafsson, Ingrid;
 Sjoberg, Marie
 CORPORATE SOURCE: Pharmaceutical and Analytical R&D,
 AstraZeneca, Moelndal, S-43183, Swed.
 SOURCE: European Journal of Pharmaceutical Sciences
 (2004), 22(2-3), 165-172
 CODEN: EPSCED; ISSN: 0928-0987
 PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 ED Entered STN: 25 May 2004

AB The aims of the present study was to investigate if food components, as represented by a multi-component nutritional drink for tube feeding, could affect tablet disintegration of standard tablets in vitro as well as in vivo and propose a mechanism for potential food effects on tablet disintegration. The tablet disintegration was delayed between 5 min and more than 1 h in the simulated gastric fed medium compared to a simple buffer. This effect was dependent on the tablet composition. A similar delay in tablet disintegration was also found in vivo after administration of the nutritional drink to three Labradors as observed by removing the tablet from the stomach at different times through a gastric fistula. The delay in tablet disintegration appeared to be caused by precipitation of a film, mainly consisting of protein, on the tablet surface as indicated by disintegration studies with pure nutrients, identification by IR spectroscopy of contents of ppts. obtained in a model study where the nutrients were incubated with different tablet excipients and visual observations of tablets exposed to the simulated fed medium. The drug dissoln. of a soluble compound, metoprolol tartrate, from a standard tablet was also strongly delayed in the simulated fed medium. In conclusion, food, could significantly delay tablet disintegration and drug dissoln. in the stomach by formation of a film around the tablets. This effect could be monitored by a simple in vitro disintegration test using a test medium based on a nutritional drink. More studies are needed to investigate the significance of the slow tablet disintegrations on bioavailability and for which types of food the present effect occurs.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L27 ANSWER 5 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 2004:750983 HCAPLUS Full-text
 DOCUMENT NUMBER: 141:271424
 TITLE: In vitro characterization of AR-A000002, a
 novel 5-hydroxytryptamine_{1B} autoreceptor
 antagonist
 AUTHOR(S): Ahlgren, Charlotte; Eriksson, Anders
 ; Tellefors, Pernilla; Ross, Svante B.;
 Stenfors, Carina; Malmberg, Asa
 CORPORATE SOURCE: Department of Molecular Pharmacology,
 AstraZeneca R&D Soedertaelje, Local
 Discovery Research Area CNS & Pain Control,
 S-151 85, Swed.
 SOURCE: European Journal of Pharmacology (2004),
 499(1-2), 67-75
 CODEN: EJPHAZ; ISSN: 0014-2999
 PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 ED Entered STN: 15 Sep 2004

AB The in vitro pharmacol. properties of AR-A000002 ((R)-N-[5-methyl-8-(4-methylpiperazin-1-yl)-1,2,3,4-tetrahydro-2-naphthyl]-4-morpholinobenzamide), a novel 5-hydroxytryptamine (5-HT)_{1B} receptor antagonist, were studied. AR-A000002 bound with high affinity to guinea pig cortex and recombinant guinea pig 5-HT_{1B} receptors (K_i = 0.24 and 0.47 nM) and with 10-fold lower affinity to 5-HT_{1D} receptors. The compound displayed weak or no affinity for 63 other binding sites tested. In [35S]GTPγS assays AR-A000002 showed 50% efficacy and inhibited 5-HT stimulation with 66% and a pA₂ value of 8.9. In slices of guinea pig cortex, AR-A000002 enhanced the outflow of [3H]5-HT

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upon elec. stimulation. The compound blocked sumatriptan-evoked contraction of rabbit saphenous veins without inducing any contraction itself. Thus, in these two systems AR-A000002 behaved as a 5-HT1B receptor antagonist. It is concluded that AR-A000002 is a selective high affinity 5HT1B receptor ligand that shows partial agonist activity in recombinant systems. In native tissues AR-A000002 behaves as a 5-HT1B receptor antagonist.

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 6 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1303102 HCAPLUS Full-text
DOCUMENT NUMBER: 147:541737
TITLE: Preparation of 2-pyridone derivatives as
neutrophil elastase inhibitors
INVENTOR(S): Hansen, Peter; Lawitz, Karolina;
Lepistoe, Matti; Loenn, Hans; Ray,
Asim
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 72pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007129962	A1	20071115	WO 2007-SE441	2007 0507

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY,
BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE,
EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL,
IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR,
LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD,
SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD,
SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-798786P P
2006
0508

OTHER SOURCE(S): MARPAT 147:541737

ED Entered STN: 15 Nov 2007

AB Title compds. I [wherein R1 = H or alkyl; W = (un)substituted 5-membered heterocyclyl; R14 = (un)substituted Ph or 6-membered heteroaryl; R3 = (un)substituted Ph or 5/6-membered heteroaryl; R4 = H or (un)substituted alkyl; X = single bond, O, (un)substituted amino, etc.; R5 = H, phenyl(oxy), heteroaryl, cycloalkyl, etc.; R6 = H or F] and pharmaceutically acceptable salts thereof were prepared as neutrophil elastase inhibitors. For example, coupling reaction of 5-iodo-N,6-dimethyl-2-oxo-1-[3-(trifluoromethyl)phenyl]-1,2-dihydropyridine-3-carboxamide (preparation given) with 1-(4-cyanophenyl)-1H-pyrazole-5-boronic acid (preparation given) gave II. II showed inhibition of human neutrophil elastase with an IC50 value of 0.21 nM. Thus, I and their pharmaceutical compns. are useful for the treatment of a disease or condition in which inhibition of neutrophil elastase activity is beneficial.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 7 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

10/593,543

ACCESSION NUMBER: 2007:1207221 HCAPLUS Full-text
DOCUMENT NUMBER: 147:486424
TITLE: Preparation of (hetero)arylacetamides as glucocorticoid receptor modulators for the treatment of inflammatory, allergic and dermatological conditions
INVENTOR(S): Bladh, Haakan; Henriksson, Krister; Lepistö, Matti
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 36pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. -----	KIND ----	DATE -----	APPLICATION NO. -----	DATE
WO 2007120083	A1	20071025	WO 2006-SE443	2006 0413

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: WO 2006-SE443
2006
0413

OTHER SOURCE(S): MARPAT 147:486424
ED Entered STN: 25 Oct 2007

AB Title compds. I [wherein X = (CH₂)_m, O, O(CH₂)_m or (CH₂)_mO; Y = (CH₂)_n, CHR5(CH₂)_n or (CH₂)_nCHR5; R₁, R₄ = (un)substituted (hetero)aryl; R₂, R₃, R₅ = H or alkyl; m, n = 1 or 2] or pharmaceutically acceptable salts thereof were prepared as glucocorticoid receptor modulators. For instance, II was synthesized and had an IC₅₀ value of 0.17 μM in a human glucocorticoid receptor assay. Thus, I and their pharmaceutical compns. are useful for the treatment of glucocorticoid receptor-mediated diseases, such as inflammatory, allergic and dermatol. conditions.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 8 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1177693 HCAPLUS Full-text
DOCUMENT NUMBER: 147:442580
TITLE: Determination of a matrix metalloproteinase using a synthetic peptide substrate conjugated with a reporter, and diagnostic and screening applications
INVENTOR(S): Blomgren, Anders; Eriksson, Anders; Hansson, Thomas; Jolley, Keith; Lepistö, Matti; Von Wachenfeldt, Karin
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 108pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

10/593,543

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007117199	A1	20071018	WO 2007-SE339	2007 0411

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-791512P P
2006
0412

OTHER SOURCE(S): MARPAT 147:442580

ED Entered STN: 18 Oct 2007

AB There is provided a method for determining the activity of a protease (e.g., a matrix metalloproteinase) in a sample (e.g., a body fluid). The method comprises (i) admixing the sample with a substrate, wherein the substrate has the formula R2Y1NC(Y2)(XR1)COR3 (R1 = hydrocarbyl; R2 = a first peptide moiety; R3 = a second peptide moiety; X = O, S, NH; Y1, Y2 = suitable substituent); and (ii) determining the activity of the protease by detecting the presence of a reporter having the formula H-X-R1 (X and R1 as above). In particular, the preparation of the substrates: Me 1-acetyl-L-prolyl-L-leucylglycyl- α -R-(4-nitrophenylamino)-glycyl-L-leucyl- β -alaninate; Me 1-acetyl-L-prolyl-L-leucylglycyl- α -R-(4-nitrophenylamino)-glycyl-L-leucylglycinate; Me 1-acetyl-L-prolyl-L-leucylglycyl- α -S-(biphenyl-4-ylmethoxy)-glycyl-L-leucylglycinate; Me 1-acetyl-L-prolyl-L-leucylglycyl- α -R-[4-(5-tolyl-[1,3,4]oxadiazol-2-yl)-phenylamino]-glycyl-L-leucylglycinate; and 1-acetyl-L-prolyl-L-leucylglycyl- α -R-(4-nitrophenylamino)-glycyl-N-phenyl-L-phenylalaninamide is disclosed. The substrate and reporter (e.g., 4-nitroaniline) are useful for determining the efficacy of protease-modulators and candidate protease-modulators and in the diagnosis of a disease or disorder (e.g., COPD) in a subject.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 9 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1064273 HCAPLUS Full-text

DOCUMENT NUMBER: 147:385987

TITLE: Preparation of (5S)-5-[4-(5-chloro-pyridin-2-yloxy)-piperidine-1-sulfonylmethyl]-5-methyl-imidazolidine-2,4-dione as a metalloproteinase inhibitor and its crystal modifications

INVENTOR(S): Barnwell, Neil; Briggner, Lars-Erik; Cole, Andrea; Eriksson, Anders; Perkins, Jacob; Vaz, Luis-Manuel; Wells, Andrew

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 85pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007106022	A2	20070920	WO 2007-SE256	2007 0315

WO 2007106022 A3 20071101

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-782979P P 2006
0316

ED Entered STN: 21 Sep 2007

AB The invention relates to (5S)-5-[4-(5-chloropyridin-2- yloxy)piperidine-1-sulfonylmethyl]-5-methylimidazolidine-2,4-dione (I) and its crystal forms, processes for preparing them, pharmaceutical prepn. comprising them, and their pharmaceutical use. I is a potent metalloproteinase inhibitor, particularly a potent inhibitor of MMP12, useful in the treatment of, e.g., COPD. For instance, I was prepared by reaction of compound II with 5-chloro-2-(piperidin-4-yloxy)pyridine (71%).

L27 ANSWER 10 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:463255 HCAPLUS Full-text

DOCUMENT NUMBER: 146:462251

TITLE: Preparation of indazolyl-substituted sulfonamides and analogs as glucocorticoid receptor modulators in the treatment of inflammatory diseases

INVENTOR(S): Bladh, Haakan; Dahmen, Jan; Hansson, Thomas; Henriksson, Krister; Lepistö, Matti; Nilsson, Stenabritt

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Schering A.-G.

SOURCE: PCT Int. Appl., 91pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007046747	A1	20070426	WO 2006-SE1181	2006 1018

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA,

10/593,543

UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL,
SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: SE 2005-2325 A 2005
1020

SE 2006-747 A 2006
0403

OTHER SOURCE(S): MARPAT 146:462251

ED Entered STN: 27 Apr 2007

AB Title compds. represented by the formula I [wherein A = Ph, naphthyl, pyridinyl, etc.; R1 = H; R2 = H, (halo)alkyl or cyclo(halo)alkyl; R3 = H or (halo)alkyl; R3a = H or alkyl; R4 = H, halo or (halo)alkyl; T = CH or N; Q1, Q2 = independently CY' or N; Y, Y' = H, halo, alkyl, etc.; W = Ph, cycloalkyl, thienyl, isoxazolyl, etc.; X = CH2, S, NH, etc.; and pharmaceutically acceptable salts thereof] were prepared as glucocorticoid receptor modulators. For example, II was provided in a multi-step synthesis starting from reaction of L-alaninol with 2,4,6-trimethylbenzenesulfonyl chloride. II was tested in human glucocorticoid receptor assay with an IC50 value of 2.9 nM. Thus, I and their pharmaceutical compns. are useful in treatment of a glucocorticoid receptor mediated disease state.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 11 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:410278 HCAPLUS Full-text

DOCUMENT NUMBER: 144:432563

TITLE: Preparation of (hetero)arylsulfonamides as glucocorticoid receptor modulators.

INVENTOR(S): Bladh, Haakan; Henriksson, Krister; Hulikal, Vijaykumar; Lepistoe, Matti

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 113 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	
WO 2006046916	A1	20060504	WO 2005-SE1610	

2005
1026

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2005300150 A1 20060504 AU 2005-300150

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10/593,543

CA 2584413	A1	20060504	CA 2005-2584413	2005 1026
EP 1807391	A1	20070718	EP 2005-796607	2005 1026
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101094832	A	20071226	CN 2005-80045404	2005 1026
MX 200704862	A	20070509	MX 2007-4862	2007 0423
KR 2007068432	A	20070629	KR 2007-709609	2007 0427
IN 2007DN03196	A	20070831	IN 2007-DN3196	2007 0427
PRIORITY APPLN. INFO.:			SE 2004-2636	A 2004 1029
			SE 2005-651	A 2005 0322
			WO 2005-SE1610	W 2005 1026

OTHER SOURCE(S): CASREACT 144:432563; MARPAT 144:432563

ED Entered STN: 05 May 2006

AB ASO2N(R1)(LW) [A = (substituted) Ph, naphthyl, pyridyl, furyl, thienyl, isoxazolyl, pyrazolyl, benzothienyl, quinolyl, isoquinolyl; R1 = H, alkyl, Ph, pyridinylcarbonyl, cycloalkyl, cycloalkylmethyl, alkenyl; L = bond, (substituted) alkylene, alkyleneimino, alkyleneoxy, alkyleneethio, alkylenesulfinyl, alkylenesulfonyl; W = (substituted) cyclohexyl, Ph, methylenedioxyphenyl, thienyl, pyrazolyl, thiazolyl, isoxazolyl, pyridyl, pyrimidyl, pyridazinyl, pyrazinyl, triazinyl, benzofuryl, benzothienyl, benzoxazolyl, quinazolinyl, cinnolyl, phthalazinyl, naphthyridinyl, etc.], were prepared Thus, 4-bromobenzenesulfonyl chloride, 1-methyl-3-phenylpropylamine, and pyridine were stirred overnight in THF to give 4-bromo-N-(1-methyl-3-phenylpropyl)benzenesulfonamide. In a human glucocorticoid receptor assay, title compds. showed binding IC50's of 0.017-8.9 μ M.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 12 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:410178 HCAPLUS Full-text

DOCUMENT NUMBER: 144:450697

TITLE: Preparation of novel sulfonamide derivatives
as glucocorticoid receptor modulators for the
treatment of inflammatory diseases

INVENTOR(S): Bladh, Haakan; Henriksson, Krister; Hulikal,
Vijaykumar; Lepistö, Matti

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

10/593,543

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006046914	A1	20060504	WO 2005-SE1608	2005 1026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005300148	A1	20060504	AU 2005-300148	2005 1026
CA 2584409	A1	20060504	CA 2005-2584409	2005 1026
EP 1807405	A1	20070718	EP 2005-797057	2005 1026
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101052627	A	20071010	CN 2005-80037505	2005 1026
MX 200704861	A	20070509	MX 2007-4861	2007 0423
KR 2007072550	A	20070704	KR 2007-709608	2007 0427
IN 2007DN03194	A	20070831	IN 2007-DN3194	2007 0427
PRIORITY APPLN. INFO.:			SE 2004-2635	A 2004 1029
			WO 2005-SE1608	W 2005 1026

OTHER SOURCE(S): CASREACT 144:450697; MARPAT 144:450697

ED Entered STN: 05 May 2006

AB The title compds. R3L3S(O2)N(R1)L1WL2R2 [I; R3 = (un)substituted Ph, thienyl, furyl or pyrazolyl; L3 = a bond or CH2; R1 = H, alkyl; W = (un)substituted Ph, isoxazolyl or pyrazolyl, cyclohexyl, or acenaphthene ring; L1 = a bond, CH2; L2 = a bond, O, NH, (CH2)_n or CH2NH; n = 1-2; R2 = (un)substituted cyclohexyl, Ph, methylenedioxyphenyl, etc.], useful in medical therapy (for example modulating the glucocorticoid receptor in a warm blooded animal), were prepared Thus, reacting 4-methoxy-2,3,6-trimethylbenzenesulfonyl chloride with [(5-methyl-3-phenylisoxazol- 4-yl)methyl]amine afforded 20% II which showed IC50 of 14 nM against human glucocorticoid receptor binding. Pharmaceutical composition comprising compound I is disclosed.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L27 ANSWER 13 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

10/593,543

ACCESSION NUMBER: 2006:452148 HCAPLUS Full-text
 DOCUMENT NUMBER: 145:58159
 TITLE: Novel conserved hydrolase domain in the CLCA family of alleged calcium-activated chloride channels
 AUTHOR(S): Pawlowski, Krzysztof; Lepistoe, Matti; Meinander, Nina; Sivars, Ulf; Varga, Mikael; Wieslander, Elisabet
 CORPORATE SOURCE: AstraZeneca R and D Lund, Lund, Swed.
 SOURCE: Proteins: Structure, Function, and Bioinformatics (2006), 63(3), 424-439
 CODEN: PSFBAF
 PUBLISHER: Wiley-Liss, Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 ED Entered STN: 15 May 2006

AB Advanced protein structure prediction methods combined with structure modeling show that the mammalian proteins, described until now as calcium-activated chloride channels (CLCAs), appear in fact to be membrane anchored metal-dependent hydrolases, possibly proteases. A metallo-hydrolase structural domain was predicted, unexpectedly, in the CLCA sequences. The well-conserved active site in the modeled structure of this hydrolase domain allows the prediction of catalytic action similar to that of metalloproteases. A number of protein structure prediction methods suggest the overall fold of the N-terminal hydrolase domain to be most similar to that of zinc metalloproteases (zincins), notably matrixins. This is confirmed by anal. of the three-dimensional structure model of the predicted CLCA1 hydrolase domain built using the known structure of the MMP-11 catalytic domain. Fragments of CLCA1 corresponding to the modeled hydrolase domain were expressed in Escherichia coli, and the resulting proteins were readily refolded into monomeric soluble protein, indicating formation of stable independent domains. The homol. model was used to predict putative substrate sequences. Homologs of mammalian CLCA genes were detected in the genomes of a vast array of multicellular animals: lower vertebrates, tunicates, insects, crustaceans, echinoderms, and flat-worms. The hydrolase prediction is discussed in the context of published exptl. determined effects of CLCA proteins on chloride conductance. Altered proteolytic processing of full-length CLCA1 containing a mutation abolishing the predicted hydrolase activity is shown as initial exptl. evidence for a role of the hydrolase domain in processing of mature full-length CLCA1. The hydrolase prediction together with the presented exptl. data add to doubts about the function of CLCAs as chloride channels and strengthen the hypothesis of channel-activating and/or channel-accessory roles.

REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 14 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1106854 HCAPLUS Full-text
 DOCUMENT NUMBER: 143:387043
 TITLE: Preparation of triazolone derivatives as MMP inhibitors for the treatment of asthma
 INVENTOR(S): Eriksson, Anders; Lepistoe, Matti
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
 SOURCE: PCT Int. Appl., 53 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	
WO 2005095362	A1	20051013	WO 2005-SE448	2005 0329

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,

10/593,543

ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH,
CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT,
LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1732903 A1 20061220 EP 2005-722275

2005
0329

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR

CN 1960979 A 20070509 CN 2005-80017672

2005
0329

JP 2007530672 T 20071101 JP 2007-506108

2005
0329

US 2007219217 A1 20070920 US 2006-593543

2006
0920

IN 2006DN05541 A 20070803 IN 2006-DN5541

2006
0922

PRIORITY APPLN. INFO.: SE 2004-850 A

2004
0330

WO 2005-SE448 W

2005
0329

OTHER SOURCE(S): MARPAT 143:387043

ED Entered STN: 14 Oct 2005

AB Title compds. represented by the formula I [wherein R1, R2 = independently H, Cl or (un)substituted alkyl; R3, R4 = independently H, Cl, (un)substituted alkyl or R3R4 = (hetero)cyclyl; m = 1-3; X = SO, SO2 or CO; R5 = H, Cl or (un)substituted alkyl; Y = a direct bond or NR5Y = azacyclic ring; L = a direct bond, O, amino, etc.; G1 = (un)substituted cyclic ring; and pharmaceutically acceptable salts or solvates thereof] were prepared as metalloproteinase (MMP) inhibitors. For example, II was provided in a multi-step synthesis starting from the reaction of 5-(chloromethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one with benzyl mercaptan. I were tested for inhibition of human MMP12, MMP9, MMP2, MMP19, MMP14 and MMP8. I and their pharmaceutical compns. are useful as MMP inhibitors for the treatment of asthma or other MMP-12 and/or MMP-9 mediated diseases (no data).

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 15 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1004988 HCAPLUS Full-text

DOCUMENT NUMBER: 143:299122

TITLE: Methods for modeling and identifying compounds
modulating the metal-dependent hydrolase
activity of calcium-activated chloride
channels

INVENTOR(S): Lepistoe, Matti; Pawlowski,
Krzysztof

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005085868	A1	20050915	WO 2005-SE316	2005 0303

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1725875	A1	20061129	EP 2005-711171	2005 0303
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R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.:	SE 2004-564	A	2004 0305
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WO 2005-SE316	W	2005 0303
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ED Entered STN: 16 Sep 2005

AB Methods for identifying compds. capable of modulating the metal-dependent hydrolase activity of a calcium-activated chloride channel (CLCA), including screening systems and computer modeling are described. The hydrolase activity appears to play a role in regulating the activity of the channel, and may be a target for the treatment of diseases associated with abnormal chloride transport, such as cystic fibrosis. The compds., including antibodies, may be useful as therapeutic agents to treat a variety of diseases.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 16 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:428910 HCAPLUS Full-text

DOCUMENT NUMBER: 141:7027

TITLE: Preparation of 2-pyridone derivatives as inhibitors of neutrophil elastase

INVENTOR(S): Bladh, Hakan; Klingstedt, Tomas; Larsson, Joakim; Lawitz, Karolina; Lepistö, Matti; Loenn, Hans; Nikitidis, Grigorios

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043924	A1	20040527	WO 2003-SE1739	

2003
1111

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES,
FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG,
MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,
DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL,
PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG

CA 2504766 A1 20040527 CA 2003-2504766

2003
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AU 2003276802 A1 20040603 AU 2003-276802

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AU 2003276802 B2 20070308
EP 1562902 A1 20050817 EP 2003-811170

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EP 1562902 B1 20060503
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ,
EE, HU, SK

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JP 2006513261 T 20060420 JP 2005-506687

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AT 325096 T 20060615 AT 2003-811170

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PT 1562902 T 20060831 PT 2003-811170

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ES 2262029 T3 20061116 ES 2003-811170

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NZ 539787 A 20061130 NZ 2003-539787

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IN 2005DN01638 A 20070119 IN 2005-DN1638

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MX 2005PA04818 A 20050722 MX 2005-PA4818

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US 2006035938 A1 20060216 US 2005-534720

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NO 2005002818 A 20050711 NO 2005-2818

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HK 1079200 A1 20061006 HK 2005-111156

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1206

PRIORITY APPLN. INFO.: SE 2002-3348 A

2002
1112

SE 2003-388 A
2003
0212

SE 2003-2120 A
2003
0722

WO 2003-SE1739 W
2003
1111

OTHER SOURCE(S): MARPAT 141:7027

ED Entered STN: 27 May 2004

AB Title compds. I [X = O, S; Y1 = N, CR2 and when R1 = OH, Y1 may also, in the tautomeric form, represent NR6; Y2 = CR3 and when Y1 = CR2, then Y2 may also represent N; R1 = H, alkyl; R2 = H, halo, alkyl; R3 = H, F; G1 = Ph, 5-6 membered heterocycle, etc.; R5 = H, halo, alkyl, etc.; n = 1-3; R4, R6 = H, alkyl, etc.; L = O, amino, alkyl, etc.; G2 = Ph, phenoxy, etc.] are prepared For instance, Et 3-[(4-chlorophenyl)amino]-3-oxopropanoate is reacted with 4-methoxy-3-buten-2-one (EtOH, NaOMe, reflux, 5 h) to give Et 1-(4-chlorophenyl)-6-methyl-2-oxo-1,2-dihydropyridine-3- carboxylate. This intermediate is saponified and coupled to 4-chlorobenzylamine (NMP, HBTu, HOBT, DIEA) to give II. Selected compds. have IC50 < 30 µM for human neutrophil elastase. I are useful in the treatment of inflammatory disorders.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 17 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:252505 HCAPLUS Full-text

DOCUMENT NUMBER: 140:287387

TITLE: Preparation of imidazolidinedione derivatives
and their use as metalloproteinase inhibitors

INVENTOR(S): Chapman, David; Eriksson, Anders;
Kristoffersson, Anna; Shamovsky, Igor;
Stenvall, Kristina

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	
WO 2004024718	A1	20040325	WO 2003-SE1407	

2003
0910

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES,
FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG,
MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,
DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL,
PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003258942 A1 20040430 AU 2003-258942

2003
0910

PRIORITY APPLN. INFO.:

SE 2002-2693

A

2002
0911

WO 2003-SE1407

W

2003
0910

OTHER SOURCE(S): CASREACT 140:287387; MARPAT 140:287387

ED Entered STN: 26 Mar 2004

AB The invention provides compds. I [R1 = H, C1-6-alkyl, (un)saturated (un)substituted 3- to 10-membered ring {optionally containing a heteroatom - N, O, S; optionally substituted with halogen, OH, CN, CO2H, NR2R3, CONR4R5, C1-6-alkyl, C1-6-alkoxy, C1-6-alkylcarbonyloxy, S(O)m-(C1-6-alkyl), C1-6-alkyl- sulfonylamino, OCH2Ph}; R2, R3, R4, R5 = H, C1-6-alkyl, C1-6-hydroxyalkyl, C1-6-haloalkyl, (C1-6-alkoxy)-C1-6-alkyl; m = 0, 1, 2; G1 = 5- or 6-membered aryl, heteroaryl monocyclic ring, optionally fused to form a 8- to 10-membered ring and optionally substituted with halogen, OH, CN, NO2, (un)substituted C1-6-alkyl, C2-6-alkenyl, C1-6-alkoxy, C1-6-haloalkoxy, S(O)n-(C1-6-alkyl), S(O)n-(C1-6-haloalkyl), C1-6-alkylcarbonylamino, Ph, OCH2Ph, NR6R7; dashed line = single or double bond; R6, R7 = H, C1-6-alkyl, C1-6-hydroxyalkyl, C1-6-haloalkyl, (C1-6-alkoxy)-C1-6-alkyl; n = 0, 1, 2] or their pharmaceutically acceptable salts or solvates; processes for their preparation comprising reacting piperidine II with sulfonyl derivative III or reacting sulfonamide IV with KCN and ammonium carbonate; pharmaceutical compns. containing them; a process for preparing the pharmaceutical compns.; and their use in therapy. Thus, I [R1 = Me, G1 = 4-cyano-3-methylphenyl, dashed line = double bond] was prepared from 2-methyl-4-(1,2,3,6-tetrahydropyridin-4-yl)benzonitrile via reaction with [(4S)-4-methyl-2,5- dioxoimidazolodin-4-yl]methnasulfonyl chloride in CH2Cl2/THF containing EtN(CHMe2)2. The enzyme inhibiting activity of I [R1 = Me, G1 = 4-cyano-3-methylphenyl, dashed line = double bond] was determined [IC50 = 0.26 nM vs MMP12; IC50 = 15.00 nM vs MMP9].

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 18 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:376821 HCAPLUS Full-text

DOCUMENT NUMBER: 138:368756

TITLE: Preparation of N-hydroxy pyrrolidinones and
related novel MMP-12 metalloproteinase
inhibitors

INVENTOR(S): Eriksson, Anders; Lepistoe,
Matti; Lundkvist, Michael; Munck Af
Rosenschoeld, Magnus; Stenvall, Kristina;
Zlatoidsky, Pavol

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	
WO 2003040098	A1	20030515	WO 2002-SE2023	2002 1106

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT,
BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE,

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IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2002347727 A1 20030519 AU 2002-347727 2002
1106
EP 1444202 A1 20040811 EP 2002-783926 2002
1106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ,
EE, SK
JP 2005515976 T 20050602 JP 2003-542144 2002
1106
US 2005026990 A1 20050203 US 2004-494645 2004
0505
US 7132434 B2 20061107
PRIORITY APPLN. INFO.: SE 2001-3710 A 2001
1107
WO 2002-SE2023 W 2002
1106

OTHER SOURCE(S): MARPAT 138:368756

ED Entered STN: 16 May 2003

AB N-hydroxy pyrrolidinones and related compds. (shown as I; variables defined below; e.g. 3-[[4-(4-fluorophenyl)piperazin-1-ylsulfonyl]methyl]-1-hydroxypyrrolidin-2-one) are useful as metalloproteinase inhibitors, especially as inhibitors of MMP12 (no data). Although the methods of preparation are not claimed, 34 example preps. are included. For I: X = CO, CS or CR1R2; Z = SO2, SO2N(R3), N(R4)SO2, or N(R4)SO2N(R3); n is 0 or 1; m is 0 or 1; R1 and R2 = H or C1-6 alkyl; R3 and R4 = H, C1-6 alkyl, phenyl-C1-6 alkyl, or heteroaryl-C1-6 alkyl. R5 is a mono, di- or tricyclic group comprising 1-3 ring structures each of ≤7 ring atoms = cycloalkyl, aryl, heterocycloalkyl or heteroaryl, with each ring structure being independently optionally substituted by ≥1 halogen, C1-6 alkyl, C1-6 alkenyl, C1-6 haloalkyl, C1-6 alkoxy, C1-6 haloalkoxy, thio, C1-6 thioalkyl, C1-6 thio-haloalkyl, sulfono, C1-6 sulfonoalkyl, C1-6 sulfonohaloalkyl, aminosulfonyl, sulfoxy, C1-6 sulfoxyalkyl, amino, cyanoamino, hydrazine, C1-6 aminoalkyl, aminocarbonylamine, methylsulfonamide, acetamido, N-(C1-3 alkyl)acetamido, carboxamide, N(C1-3 alkyl)carboxamide, N,N-di(C1-3 alkyl)carbamate, cyano, C1-6 cyanoalkyl, hydroxy, nitro, nitroso, formyl, N-methylformamide, Me formate, Et formate, acetyl, acetoxy; when R5 is a di- or tricyclic group, each ring structure is joined to the next ring structure by a direct bond, by -O-, by -S-, by -N-, by C1-3-alkyl, by C1-3 heteroalkyl, or is fused to the next ring structure.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 19 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:736252 HCAPLUS Full-text

DOCUMENT NUMBER: 137:263031

TITLE: Preparation of 5-substituted
imidazolidine-2,4-diones as metalloproteinase
inhibitors

INVENTOR(S): Eriksson, Anders; Lepistoe,
Matti; Lundkvist, Michael; Munck Af
Rosenschoeld, Magnus; Zlatoidsky, Pavol

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 153 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	
WO 2002074767	A1	20020926	WO 2002-SE472	2002 0313
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2440630	A1	20020926	CA 2002-2440630	2002 0313
AU 2002237626	A1	20021003	AU 2002-237626	2002 0313
AU 2002237626	B2	20070517		
EE 200300445	A	20031215	EE 2003-445	2002 0313
EP 1370556	A1	20031217	EP 2002-704031	2002 0313
EP 1370556	B1	20060719		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002008104	A	20040302	BR 2002-8104	2002 0313
CN 1509272	A	20040630	CN 2002-809788	2002 0313
CN 1509286	A	20040630	CN 2002-809915	2002 0313
CN 1509276	A	20040630	CN 2002-810093	2002 0313
JP 2004527515	T	20040909	JP 2002-573776	2002 0313
HU 2004000327	A2	20050128	HU 2004-327	2002 0313
HU 2004000327	A3	20050628		
NZ 528106	A	20050324	NZ 2002-528106	2002 0313
EP 1676846	A2	20060705	EP 2006-8158	2002 0313
EP 1676846	A3	20060726		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 333454	T	20060815	AT 2002-704031	2002 0313
RU 2288228	C2	20061127	RU 2003-127734	2002

ES 2267986	T3	20070316	ES 2002-704031	0313
				2002
				0313
CN 1962641	A	20070516	CN 2006-10106152	
				2002
				0313
IN 2003MN00805	A	20050318	IN 2003-MN805	
				2003
				0827
ZA 2003006731	A	20041129	ZA 2003-6731	
				2003
				0828
ZA 2003006732	A	20041129	ZA 2003-6732	
				2003
				0828
ZA 2003006734	A	20041129	ZA 2003-6734	
				2003
				0828
ZA 2003006737	A	20041129	ZA 2003-6737	
				2003
				0828
MX 2003PA08191	A	20040129	MX 2003-PA8191	
				2003
				0910
NO 2003004045	A	20031110	NO 2003-4045	
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				0912
US 2004127528	A1	20040701	US 2004-471900	
				2004
				0114
HK 1059932	A1	20061222	HK 2004-102796	
				2004
				0421
PRIORITY APPLN. INFO.:			SE 2001-902	A
				2001
				0315
			CN 2002-810093	A3
				2002
				0313
			EP 2002-704031	A3
				2002
				0313
			WO 2002-SE472	W
				2002
				0313

OTHER SOURCE(S): MARPAT 137:263031

ED Entered STN: 27 Sep 2002

AB The title compds. [I; X = NR1, O, S; Y1, Y2 = O, S; Z = SO, SO2; m = 1, 2; A = a bond, alkyl, haloalkyl, etc.; R1 = H, alkyl, haloalkyl; R2, R3 = H, halo, alkyl, etc.; R4 = H, halo, alkyl, haloalkyl; R5 = monocyclic, bicyclic or tricyclic group selected from (un)substituted cycloalkyl, aryl, heterocycloalkyl, heteroaryl], useful as metalloproteinase inhibitors, especially as inhibitors of MMP12, were prepared Thus, reacting 1-[4-(4-fluorophenyl)phenyl]piperazine and 2-(2,5-dioxo-4-imidazolidinyl)-1-ethanesulfonyl chloride (preparation given) in the presence Et3N in CH2Cl2 afforded II.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 20 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:736238 HCAPLUS Full-text

DOCUMENT NUMBER: 137:247697

TITLE: Preparation of 5-substituted

10/593,543

imidazolidine-2,4-diones as metalloproteinase
inhibitors

INVENTOR(S): Lepistö, Matti; Munck Af

Rosenschöld, Magnus

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074752	A1	20020926	WO 2002-SE479	2002 0313
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW</p> <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
CA 2440475	A1	20020926	CA 2002-2440475	2002 0313
AU 2002237633	A1	20021003	AU 2002-237633	2002 0313
AU 2002237633	B2	20070405		
EP 1370538	A1	20031217	EP 2002-704038	2002 0313
<p>R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR</p>				
EE 200300452	A	20040216	EE 2003-452	2002 0313
BR 2002008062	A	20040302	BR 2002-8062	2002 0313
CN 1509273	A	20040630	CN 2002-809789	2002 0313
CN 1509274	A	20040630	CN 2002-809927	2002 0313
JP 2004527512	T	20040909	JP 2002-573761	2002 0313
HU 2004000328	A2	20040928	HU 2004-328	2002 0313
HU 2004000328	A3	20070529		
NZ 528141	A	20050527	NZ 2002-528141	2002 0313
RU 2293730	C2	20070220	RU 2003-127736	2002 0313
IN 2003MN00803	A	20050318	IN 2003-MN803	

ZA 2003006733	A	20041129	ZA 2003-6733	2003 0827
ZA 2003006738	A	20041129	ZA 2003-6738	2003 0828
MX 2003PA08187	A	20040129	MX 2003-PA8187	2003 0828
NO 2003004027	A	20031105	NO 2003-4027	2003 0910
US 2004110809	A1	20040610	US 2004-471499	2003 0911
PRIORITY APPLN. INFO.:				2004 0112
		SE 2001-903	A	2001 0315
		WO 2002-SE479	W	2002 0313

OTHER SOURCE(S): MARPAT 137:247697

ED Entered STN: 27 Sep 2002

AB The title compds. [I; X = NR1, O, S; Y1, Y2 = O, S; Z = NR2, O, S; m = 0-1; A = a bond, alkyl, alkenyl, haloalkyl, heteroalkyl; R1, R2 = H, alkyl, haloalkyl; R3, R6 = H, halo, alkyl, etc.; R4 = H, alkyl, hydroxyalkyl, etc.; R5 = bicyclic or tricyclic group selected from (un)substituted cycloalkyl, aryl, heterocycloalkyl or heteroaryl], useful as metalloproteinase inhibitors, especially as inhibitors of MMP12, were prepared Thus, reacting 4-carboxyphenylboronic acid with 5-[hydroxy(4-iodophenyl)methyl]imidazolidine-2,4-dione (preparation given) in the presence of NaHCO₃ and Pd(OAc)₂ in Me₂CO and H₂O afforded 34% II.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 21 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:736237 HCAPLUS Full-text

DOCUMENT NUMBER: 137:263029

TITLE: Preparation of 5-substituted
imidazolidine-2,4-diones as metalloproteinase
inhibitors

INVENTOR(S): Eriksson, Anders; Lepistoe,
Matti; Lundkvist, Michael; Munck Af
Rosenschoeld, Magnus; Zlatoidsky, Pavol

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002074751	A1	20020926	WO 2002-SE478	2002 0313

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE,

10/593,543

SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,				
VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT,				
BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC,				
NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,				
ML, MR, NE, SN, TD, TG				
CA 2440473	A1	20020926	CA 2002-2440473	2002
				0313
AU 2002237632	A1	20021003	AU 2002-237632	2002
				0313
AU 2002237632	B2	20070510		
EE 200300451	A	20031215	EE 2003-451	2002
				0313
EP 1370537	A1	20031217	EP 2002-704037	2002
				0313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,				
MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007984	A	20040615	BR 2002-7984	2002
				0313
CN 1509272	A	20040630	CN 2002-809788	2002
				0313
CN 1509286	A	20040630	CN 2002-809915	2002
				0313
CN 1509276	A	20040630	CN 2002-810093	2002
				0313
JP 2004523583	T	20040805	JP 2002-573760	2002
				0313
HU 2004000202	A2	20040830	HU 2004-202	2002
				0313
HU 2004000202	A3	20041028		
NZ 528140	A	20050225	NZ 2002-528140	2002
				0313
EP 1676846	A2	20060705	EP 2006-8158	2002
				0313
EP 1676846	A3	20060726		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,				
MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 333454	T	20060815	AT 2002-704031	2002
				0313
RU 2293729	C2	20070220	RU 2003-127735	2002
				0313
ES 2267986	T3	20070316	ES 2002-704031	2002
				0313
CN 1962641	A	20070516	CN 2006-10106152	2002
				0313
IN 2003MN00801	A	20050318	IN 2003-MN801	2003
				0827
ZA 2003006731	A	20041129	ZA 2003-6731	2003
				0828

10/593,543

ZA 2003006732	A	20041129	ZA 2003-6732	2003 0828
ZA 2003006734	A	20041129	ZA 2003-6734	2003 0828
ZA 2003006737	A	20041129	ZA 2003-6737	2003 0828
MX 2003PA08177	A	20031212	MX 2003-PA8177	2003 0910
NO 2003004042	A	20031110	NO 2003-4042	2003 0912
US 2004138276	A1	20040715	US 2003-471810	2003 0912
PRIORITY APPLN. INFO.:			SE 2001-902	A 2001 0315
			CN 2002-810093	A3 2002 0313
			EP 2002-704031	A3 2002 0313
			WO 2002-SE478	W 2002 0313

OTHER SOURCE(S): MARPAT 137:263029

ED Entered STN: 27 Sep 2002

AB The title compds. [I; X = NR1, O, S; Y1, Y2 = O, S; Z = SO2NR6, NR7SO2, NR7SO2NR6; m = 1-2; A = a bond, alkyl, haloalkyl, etc.; R2, R3 = H, halo, alkyl, etc.; R4 = H, halo, alkyl, haloalkyl; R6 = H, alkyl, heteroalkyl, etc.; R5 = a monocyclic, bicyclic or tricyclic group selected from (un)substituted cycloalkyl, aryl, heterocycloalkyl or heteroaryl; R7 = alkyl, cycloalkyl, heteroalkyl, cycloheteroalkyl], useful as metalloproteinase inhibitors, especially as inhibitors of MMP12, were prepared Thus, reacting (S)-tert-BuOCONHCH(CH2NH2)CO2H with 4-FCH6H4SO2Cl in the presence of Na2CO3 in H2O/dioxane followed by subsequent treatment of the resulting intermediate II with 4N HCl, then with KCNO and Na2CO3, and with concentrate HCl afforded (4S)-III.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 22 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:736236 HCAPLUS Full-text

DOCUMENT NUMBER: 137:247696

TITLE: Preparation of 5-substituted
imidazolidine-2,4-diones as metalloproteinase
inhibitors

INVENTOR(S): Eriksson, Anders; Lepistoe,
Matti; Lundkvist, Michael; Munck Af
Rosenschoeld, Magnus; Zlatoidsky, Pavol

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 300 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002074750	A1	20020926	WO 2002-SE475	2002 0313
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2440632	A1	20020926	CA 2002-2440632	2002 0313
AU 2002237629	A1	20021003	AU 2002-237629	2002 0313
EE 200300439	A	20031215	EE 2003-439	2002 0313
EP 1370536	A1	20031217	EP 2002-704034	2002 0313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002008105	A	20040309	BR 2002-8105	2002 0313
CN 1509275	A	20040630	CN 2002-810041	2002 0313
HU 2004000206	A2	20040830	HU 2004-206	2002 0313
HU 2004000206	A3	20041028		
JP 2004527511	T	20040909	JP 2002-573759	2002 0313
EP 1676846	A2	20060705	EP 2006-8158	2002 0313
EP 1676846	A3	20060726		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1962641	A	20070516	CN 2006-10106152	2002 0313
IN 2003MN00800	A	20050318	IN 2003-MN800	2003 0827
MX 2003PA08180	A	20031212	MX 2003-PA8180	2003 0910
NO 2003004025	A	20031113	NO 2003-4025	2003 0911
US 2004147573	A1	20040729	US 2003-471808	2003 0912
PRIORITY APPLN. INFO.:		SE 2001-902	A	2001 0315

SE 2001-903	A	2001 0315
CN 2002-810093	A3	2002 0313
EP 2002-704031	A3	2002 0313
WO 2002-SE475	W	2002 0313

OTHER SOURCE(S): MARPAT 137:247696

ED Entered STN: 27 Sep 2002

AB The title compds. [I; X = NR1, O, S; B = C, CH, and is a point of attachment of one or more other functional groups or side chains; Y1, Y2 = O, S; R1 = H, alkyl, haloalkyl], useful in the treatment of a disease or condition mediated by one or more metalloproteinase enzymes (no biol. data), were prepared E.g., a 4-step synthesis of II, starting with 4-(4-chlorophenyl)benzaldehyde, was given.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 23 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:736235 HCAPLUS Full-text

DOCUMENT NUMBER: 137:263028

TITLE: Preparation of 5-substituted
imidazolidine-2,4-diones as metalloproteinase
inhibitors

INVENTOR(S): Lepistö, Matti; Munck Af

Rosenschoeld, Magnus

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002074749	A1	20020926	WO 2002-SE474	2002 0313
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,				
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI,				
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,				
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,				
MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE,				
SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,				
VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT,				
BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC,				
NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,				
ML, MR, NE, SN, TD, TG				
CA 2444526	A1	20020926	CA 2002-2444526	2002 0313
AU 2002237628	A1	20021003	AU 2002-237628	2002 0313

10/593,543

EE 200300450	A	20031215	EE 2003-450	2002 0313
EP 1370535	A1	20031217	EP 2002-704033	2002 0313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007985	A	20040615	BR 2002-7985	2002 0313
CN 1509273	A	20040630	CN 2002-809789	2002 0313
CN 1509274	A	20040630	CN 2002-809927	2002 0313
HU 2004000193	A2	20040728	HU 2004-193	2002 0313
HU 2004000193	A3	20041028		
JP 2004523582	T	20040805	JP 2002-573758	2002 0313
NZ 528108	A	20050429	NZ 2002-528108	2002 0313
IN 2003MN00804	A	20050318	IN 2003-MN804	2003 0827
ZA 2003006733	A	20041129	ZA 2003-6733	2003 0828
ZA 2003006738	A	20041129	ZA 2003-6738	2003 0828
MX 2003PA08183	A	20031212	MX 2003-PA8183	2003 0910
NO 2003004032	A	20031110	NO 2003-4032	2003 0911
US 2004116486	A1	20040617	US 2004-471501	2004 0112
PRIORITY APPLN. INFO.:			SE 2001-903	A 2001 0315
			WO 2002-SE474	W 2002 0313

OTHER SOURCE(S): MARPAT 137:263028

ED Entered STN: 27 Sep 2002

AB The title compds. [I; X = NR1, O, S; Y1, Y2 = O, S; Z = NR2, O, S; m = 0-1; A = a bond, alkyl, alkenyl, etc.; R1, R2 = H, alkyl, haloalkyl; R3, R6 = H, halo, alkyl, etc.; R4 = H, alkyl, hydroxyalkyl, etc.; R5 = 3-7 membered monocyclic group selected from (un)substituted cycloalkyl, aryl, heterocycloalkyl, heteroaryl], useful as metalloproteinase inhibitors, especially as inhibitors of MMP12, were prepared Thus, reacting 4-iodobenzaldehyde with 5-methylhydantoin in the presence of 45% aqueous Et3N in EtOH/H2O afforded 57.5% II.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L27 ANSWER 24 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

10/593,543

ACCESSION NUMBER: 2000:742075 HCAPLUS Full-text
 DOCUMENT NUMBER: 133:296383
 TITLE: Preparation of novel pyridines as mast cell inhibitors
 INVENTOR(S): Andersson, Marjana; Eriksson, Anders; Eriksson, Tomas
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
 SOURCE: PCT Int. Appl., 22 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061560	A1	20001019	WO 2000-SE674	2000 0407

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 1999-1273 A
 1999
 0409

OTHER SOURCE(S): MARPAT 133:296383

ED Entered STN: 20 Oct 2000

AB The title compds. (I) [wherein W = O or S; X = alkyl or alkenyl; Y = a bond or alkyl optionally fluorinated or interrupted by one or more O; R1 = H or alkyl; R2 = Ph, alkyl, or a 5-7 membered saturated ring optionally containing 1-2 heteroatoms or NHCO2R3; R3 = alkyl] were prepared as mast cell inhibitors. Thus, (2R)-1-(6-bromonaphthalen-2-yloxy)-4-(pyridin-3-yl)butan-2-ol and N-octylacrylamide were heated at 80°C with Pd(OAc)2, P(C6H4-o-Me)3, and TEA in MeCN in a sealed tube for 16 h to give (R)-II. I are useful in the treatment or prevention of allergic, inflammatory, auto-immune, proliferative, and hyper-proliferative diseases, especially asthma and rhinitis (no data).

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L27 ANSWER 25 OF 29 BIOSIS COPYRIGHT (c) 2008 The Thomson Corporation on STN

ACCESSION NUMBER: 2007:63653 BIOSIS Full-text

DOCUMENT NUMBER: PREV200700065652

TITLE: Metalloproteinase inhibitors.

AUTHOR(S): Anonymous; Eriksson, Anders [Inventor]; Lepisto, Matti [Inventor]; Lundkvist, Michael [Inventor]; Munck Af Rosenschold, Magnus [Inventor]; Stenvall, Kristina [Inventor]; Zlatoidsky, Pavol [Inventor]

CORPORATE SOURCE: Lund, Sweden
 ASSIGNEE: AstraZeneca AB

PATENT INFORMATION: US 07132434 20061107

SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (NOV 7 2006)
 CODEN: OGUPE7. ISSN: 0098-1133.

DOCUMENT TYPE: Patent

LANGUAGE: English
 ENTRY DATE: Entered STN: 17 Jan 2007
 Last Updated on STN: 17 Jan 2007
 ED Entered STN: 17 Jan 2007
 Last Updated on STN: 17 Jan 2007
 AB Compounds of the formula (I), useful as metal-loproteainase inhibitors, especially as inhibitors of MMP12

L27 ANSWER 26 OF 29 BIOSIS COPYRIGHT (c) 2008 The Thomson Corporation on STN

ACCESSION NUMBER: 2006:117119 BIOSIS Full-text
 DOCUMENT NUMBER: PREV200600119422
 TITLE: Baroreceptor sensitivity is impaired in elderly subjects with the metabolic syndrome.
 AUTHOR(S): Lind, L. [Reprint Author]; Lindgren, K.; Bredengen, N.; Hansen, N.; Eriksson, A.; Hagelin, E.; Holmberg, M.; Abrahamsson, C.
 CORPORATE SOURCE: Univ Uppsala Hosp, Uppsala, Sweden
 SOURCE: Journal of Hypertension, (JUN 2005) Vol. 23, No. Suppl. 2, pp. S277.
 Meeting Info.: 15th European Meeting on Hypertension. Milan, ITALY. June 17 -21, 2005.
 European Soc Hypertens; AstraZeneca; Bristol Myers Squibb Co; Boehringer Ingelheim; MSD; NOVARTIS; RECORDATI; SANKYO; Sanofi Aventis; Bayer Hlthcare AG; Pfizer Inc; Solvay Pharmaceut GmbH.
 CODEN: JOHYD3. ISSN: 0263-6352.

DOCUMENT TYPE: Conference; (Meeting)
 Conference; Abstract; (Meeting Abstract)

LANGUAGE: English
 ENTRY DATE: Entered STN: 15 Feb 2006
 Last Updated on STN: 15 Feb 2006

ED Entered STN: 15 Feb 2006
 Last Updated on STN: 15 Feb 2006

L27 ANSWER 27 OF 29 BIOSIS COPYRIGHT (c) 2008 The Thomson Corporation on STN

ACCESSION NUMBER: 2003:268491 BIOSIS Full-text
 DOCUMENT NUMBER: PREV200300268491
 TITLE: A COMPARISON OF RAT AND HUMAN ASIC3 HOMOMERS.
 AUTHOR(S): Krupp, J. J. [Reprint Author]; Karlsson, U. [Reprint Author]; Micha Johansson, G. [Reprint Author]; Brandin, H. [Reprint Author]; Eriksson, A. B. [Reprint Author]
 CORPORATE SOURCE: AstraZeneca R and D Sodertalje, Huddinge, Sweden
 SOURCE: Society for Neuroscience Abstract Viewer and Itinerary Planner, (2002) Vol. 2002, pp. Abstract No. 51.11. <http://sfn.scholarone.com>. cd-rom.
 Meeting Info.: 32nd Annual Meeting of the Society for Neuroscience. Orlando, Florida, USA. November 02-07, 2002. Society for Neuroscience.

DOCUMENT TYPE: Conference; (Meeting)
 Conference; (Meeting Poster)
 Conference; Abstract; (Meeting Abstract)

LANGUAGE: English
 ENTRY DATE: Entered STN: 11 Jun 2003
 Last Updated on STN: 11 Jun 2003

ED Entered STN: 11 Jun 2003
 Last Updated on STN: 11 Jun 2003

AB Tissue inflammation is characterized by plasma acidification, a potentially initiating event in nociceptive signalling. Acidic solutions activate ion conductances in sensory neurones. These neurons express several subunits of proton-gated sodium channels called acid sensing ion channels (ASIC). In the rat ASIC3 displays restricted expression to small to medium sized dorsal root ganglion neurons, and has functionally interesting non-adapting properties. Here we report on a comparison of the properties

of rat ASIC3 (rASIC3) homomers with those of human ASIC3 (hASIC3) homomers. The cDNAs of both subunits were cloned into the expression vector pcDNA3 and transfected into Chinese Hamster Ovary (CHO) cells. Stable cell lines were derived from neomycin resistant clones. The electrophysiological properties of the homomers were studied using the patch-clamp technique.) Western blot analysis showed high expression of rASIC3 and hASIC3 proteins in the selected clones. In CHO cells expressing rASIC3 homomers brief pH jumps (background pH: 7.4) elicited large inward current. However, CHO cells expressing hASIC3 showed no or only small responses when challenged with a pH jump from a background pH of 7.4. Activity of hASIC3 homomers was increased when the background pH was 8.0.) These results show that the pH sensitivity of rat and human ASIC3 homomers is distinct. Whereas rASIC3 homomers may play a significant role in nociception, hASIC3 homomers require unphysiologically basic conditions for functional activity and are thus unlikely to be of major importance for nociception in humans.

L27 ANSWER 28 OF 29 DRUGU COPYRIGHT 2008 THE THOMSON CORP on STN

ACCESSION NUMBER: 2000-41529 DRUGU P B Full-text

TITLE: Site-specific antiatherogenic effect of
N,N'-diacetyl-L-cystine in apoE;LDLr(-/-) mice.

AUTHOR: Eriksson A W; Pettersson K

CORPORATE SOURCE: Astra-Zeneca

LOCATION: Molndal, Swed.

SOURCE: Atherosclerosis (151, No. 1, 193, 2000) 1 Tab.

CODEN: ATHSBL ISSN: 0021-9150

AVAIL. OF DOC.: Pharmacology CV, AstraZeneca Research and
Development, SE-431 83 Molndal, Sweden.

LANGUAGE: English

DOCUMENT TYPE: Journal

FIELD AVAIL.: AB; LA; CT

FILE SEGMENT: Literature

AB N,N'-diacetyl-L-cystine (DiNAC; 3 umoles/kg/day in drinking water for 11 wk) significantly reduced atherosclerosis in the descending thoracic aorta of apoE;LDLr(-/-) mice (aged 10 wk at commencement of the study). The lesion size was 1.44 vs. 3.95 mm³ x 10 power -3 in control mice). Atherosclerosis in the aortic root region and plasma cholesterol levels were not affected by DiNAC. DiNAC thus prevents atherogenesis by a mechanism not dependent on lipid lowering. The site specificity indicates that development of lesions in different vascular regions may be controlled by different factors. (conference abstract: XIIth International Symposium on Atherosclerosis, Stockholm, Sweden, 2000). (No EX).

L27 ANSWER 29 OF 29 EMBASE COPYRIGHT (c) 2008 Elsevier B.V. All
rights reserved on STN

ACCESSION NUMBER: 2002041242 EMBASE Full-text

TITLE: Isolation of the human testatin gene and analysis
in patients with abnormal gonadal development.

AUTHOR: Eriksson A.; Tohonen V.; Wedell A.;
Nordqvist K.

CORPORATE SOURCE: K. Nordqvist, Molecular Sciences,
AstraZeneca R and D Sodertalje, SE-151 85
Sodertalje, Sweden. Katarina.Nordqvist@cmb.ki.se

SOURCE: Molecular Human Reproduction, (2002) Vol. 8, No. 1,
pp. 8-15.

Refs: 42

ISSN: 1360-9947 CODEN: MHREFD

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; Article

FILE SEGMENT: 021 Developmental Biology and Teratology
022 Human Genetics
028 Urology and Nephrology
029 Clinical and Experimental Biochemistry

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 7 Feb 2002

Last Updated on STN: 7 Feb 2002

ED Entered STN: 7 Feb 2002

Last Updated on STN: 7 Feb 2002

AB We have previously isolated the testatin gene using a modified mRNA differential display method on RNA from developing male and female mouse gonads. This gene is specifically expressed during early testis development, immediately after the onset of the testis-determining gene Sry. The protein encoded by testatin has features that are characteristic for type 2 cystatins, a family of small inhibitors of cysteine proteases such as the cathepsins. We have now isolated the human orthologue of this gene. We describe here the sequence, genomic structure, chromosomal location, and expression pattern of the human testatin gene. Like mouse testatin, human testatin is specifically expressed in the testis, suggesting that it has a function in reproduction. We have therefore also investigated whether the human testatin gene plays a role in disorders of gonadal development, by sequencing the gene in patients with gonadal dysgenesis, with true hermaphroditism, and in children with less well-defined intersex conditions. We found no sequence aberrations in these patients apart from an H109P polymorphism which was also found in fertile controls. This is the first genetic analysis of testatin in humans.

STRUCTURE SEARCH

=> d his 114

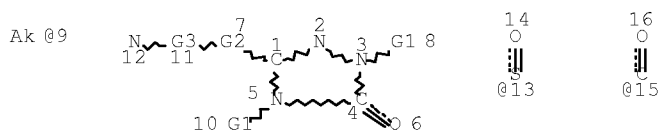
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=> d que stat 114

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 866602-89-3/BI OR 866602-90-6/BI OR 9004-06-2/BI)

L5 STR



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 REP G2=(1-3) C
 VAR G3=15/13/SO2
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 CONNECT IS E1 RC AT 6
 CONNECT IS E1 RC AT 14
 CONNECT IS E1 RC AT 16
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS M1-X6 C AT 9

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

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 L8 15 SEA FILE=REGISTRY ABB=ON PLU=ON L7 AND L2
 L9 10 SEA FILE=HCAPLUS ABB=ON PLU=ON L7
 L10 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L8
 L11 10 SEA FILE=HCAPLUS ABB=ON PLU=ON L9 OR L10
 L12 SEL PLU=ON L7 1- NAME : 15 TERMS
 L13 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L12
 L14 10 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 OR L13

=> d his 123

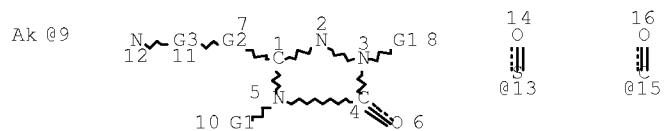
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 MAR 2008)

10/593,543

L23 0 S L7

=> d que stat l23

L5 STR



VAR G1=H/9

REP G2=(1-3) C

VAR G3=15/13/SO2

NODE ATTRIBUTES:

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CONNECT IS E1 RC AT 6

CONNECT IS E1 RC AT 14

CONNECT IS E1 RC AT 16

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS M1-X6 C AT 9

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L7 27 SEA FILE=REGISTRY SSS FUL L5

L23 0 SEA L7

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L23 HAS NO ANSWERS

PROCESSING COMPLETED FOR L14

PROCESSING COMPLETED FOR L23

L28 10 DUP REM L14 L23 (0 DUPLICATES REMOVED)

ANSWERS '1-10' FROM FILE HCAPLUS

STRUCTURE SEARCH RESULTS

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L28 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:874350 HCAPLUS Full-text

DOCUMENT NUMBER: 147:257652

TITLE: Preparation of piperidine derivatives as
tachykinin receptor antagonistsINVENTOR(S): Shirai, Junya; Yoshikawa, Takeshi; Sugiyama,
Hideyuki

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 133pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007089031	A1	20070809	WO 2007-JP52160	

2007
0201

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN,
IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS,
LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD,
SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
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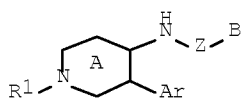
PRIORITY APPLN. INFO.: US 2006-763894P P

2006
0201

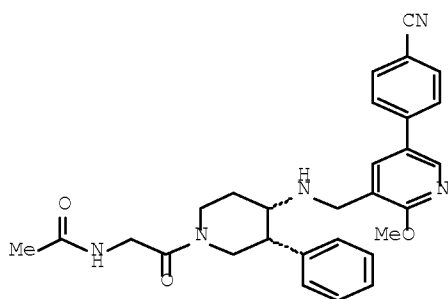
OTHER SOURCE(S): MARPAT 147:257652

ED Entered STN: 10 Aug 2007

GI



I



II

AB Title compds. I [Ar = (un)substituted phenyl; R1 = H, (un)substituted hydrocarbonyl, acyl or heterocyclyl; Z = (un)substituted methylene; ring A = (un)substituted piperidine; B = (un)substituted monocyclic aromatic heterocyclyl with provisions that substituents may form a ring], and their pharmaceutically acceptable salts, prodrugs are prepared and disclosed as tachykinin receptor antagonists and useful as an agent for the prophylaxis or treatment of lower urinary tract disease and the like. Thus, e.g., II was prepared by condensation of N-[2-((3R,4S)-4-amino-3-phenylpiperidin-1-yl)-2-oxoethyl]acetamide methanesulfonate (preparation given) with 4-(5-formyl-6-methoxypyridin-3-yl)benzonitrile (preparation given) followed by reduction. I have superior antagonistic activity, e.g., II showed IC50 value of 0.015 nM.

IT 945954-65-4P 945954-79-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

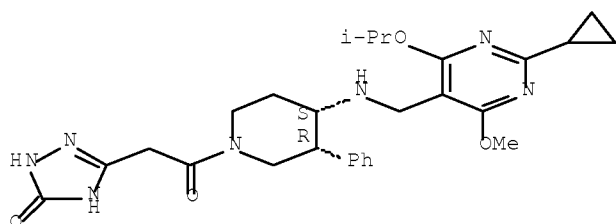
(Preparation); USES (Uses)

(drug candidate; preparation of piperidine derivs. as tachykinin receptor antagonists)

RN 945954-65-4 HCAPLUS

CN 3H-1,2,4-Triazol-3-one, 5-[2-[(3R,4S)-4-[[[2-cyclopropyl-4-methoxy-6-(1-methylethoxy)-5-pyrimidinyl]methyl]amino]-3-phenyl-1-piperidinyl]-2-oxoethyl]-1,2-dihydro- (CA INDEX NAME)

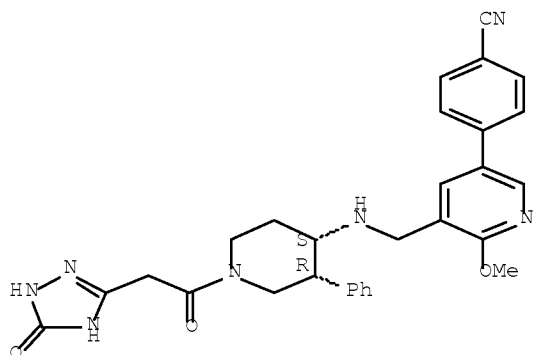
Absolute stereochemistry.



RN 945954-79-0 HCAPLUS

CN Benzonitrile, 4-[5-[[[(3R,4S)-1-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-3-phenyl-4-piperidinyl]amino]methyl]-6-methoxy-3-pyridinyl]- (CA INDEX NAME)

Absolute stereochemistry.



CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1, 63
 IT 945954-50-7P 945954-52-9P 945954-55-2P 945954-56-3P
 945954-57-4P 945954-58-5P 945954-59-6P 945954-60-9P
 945954-64-3P, (3R)-3-(Acetylamino)-4-[(3R,4S)-4-[(2-cyclopropyl-4-isopropoxy-6-methoxypyrimidin-5-yl)methyl]amino]-3-phenylpiperidin-1-yl]-4-oxobutanamide 945954-65-4P 945954-66-5P
 945954-67-6P 945954-68-7P, (3R,4S)-N-[(2-Cyclopropyl-4-isopropoxy-6-methoxypyrimidin-5-yl)methyl]-1-[(1-methyl-1H-imidazol-5-yl)carbonyl]-3-phenylpiperidin-4-amine 945954-69-8P
 945954-70-1P, (3R,4S)-N-[(2-Cyclopropyl-4-isopropoxy-6-methoxypyrimidin-5-yl)methyl]-3-phenyl-1-[(pyridin-3-yl)carbonyl]piperidin-4-amine 945954-71-2P, (3R,4S)-N-[(2-Cyclopropyl-4-isopropoxy-6-methoxypyrimidin-5-yl)methyl]-1-[(methylsulfonyl)acetyl]-3-phenylpiperidin-4-amine 945954-72-3P
 945954-73-4P 945954-74-5P 945954-75-6P 945954-76-7P
 945954-77-8P, (3R,4S)-4-[(2-Cyclopropyl-4-isopropoxy-6-methoxypyrimidin-5-yl)methyl]amino]-N-ethyl-3-phenylpiperidine-1-carboxamide 945954-78-9P 945954-79-0P 945954-80-3P
 945954-81-4P 945954-82-5P 945954-83-6P 945954-84-7P
 945954-85-8P 945954-86-9P 945954-87-0P 945954-88-1P
 945954-89-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)
 (drug candidate; preparation of piperidine derivs. as tachykinin
 receptor antagonists)
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

=> d 128 2-10 ibib ed abs hitstr hitind

L28 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:485967 HCAPLUS Full-text
 DOCUMENT NUMBER: 146:482087
 TITLE: Preparation of heterocyclic amide compounds as
 matrix metalloproteinase inhibitors
 INVENTOR(S): Nara, Hiroshi; Kaieda, Akira; Sato, Kenjiro;
 Terauchi, Jun
 PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
 SOURCE: PCT Int. Appl., 330pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007049820	A1	20070503	WO 2006-JP322043	

2006
1027

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

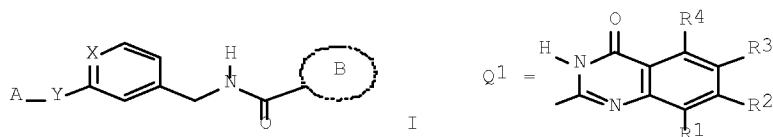
PRIORITY APPLN. INFO.: JP 2005-315267 A

2005
1028

OTHER SOURCE(S): MARPAT 146:482087

ED Entered STN: 04 May 2007

GI



AB The title compds. I [A = zinc-binding group; X = CZ, N; Z = H, halo; Y = (un)substituted spacer having 2 to 10 atoms; ring B = Q1, etc.; R1 - R4 = H, halo, cyano, etc.; excluding 6 specific compds.] are prepared Thus, 4-oxo-N-[3-([2-((1H-1,2,4-triazol-3-ylthio)ethyl)oxy)phenyl)methyl]-3,4-dihydroquinazoline-2-carboxamide was prepared in several steps starting from 3-hydroxybenzonitrile and 1-bromo-2-chloroethane. In an in vitro assay, compds. of this invention at 1 μ M gave 81% to 100% inhibition of matrix metalloproteinase 13. Formulations are given.

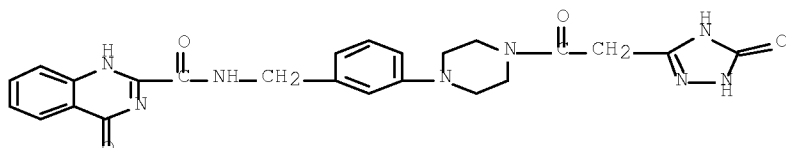
IT 935759-87-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic amide compds. as matrix metalloproteinase inhibitors)

RN 935759-87-8 HCAPLUS

CN 2-Quinazolinecarboxamide, N-[[3-[4-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-1-piperazinyl]phenyl)methyl]-3,4-dihydro-4-oxo- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 935758-96-6P 935758-97-7P 935758-98-8P 935758-99-9P
 935759-00-5P 935759-01-6P 935759-02-7P 935759-03-8P
 935759-04-9P 935759-05-0P 935759-06-1P 935759-07-2P
 935759-08-3P 935759-09-4P 935759-10-7P 935759-11-8P
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 935759-98-1P 935759-99-2P 935760-00-2P 935760-01-3P
 935760-02-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of heterocyclic amide compds. as matrix
 metalloproteinase inhibitors)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L28 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:150254 HCAPLUS Full-text

DOCUMENT NUMBER: 146:206214

TITLE: Preparation of biphenylmethylaminopiperidines
 as tachykinin receptor antagonists.

INVENTOR(S): Ikeura, Yoshinori; Shirai, Junya; Yoshikawa,
 Takeshi; Sakauchi, Nobuki

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 174pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007015588	A1	20070208	WO 2006-JP315899	2006 0804

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
 CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
 ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS,
 JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT,
 LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI,

10/593,543

NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG,
SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL,
SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
US 2007149570 A1 20070628 US 2007-701380

2007
0202

PRIORITY APPLN. INFO.:

JP 2005-227183

A

2005
0804

WO 2006-JP315899

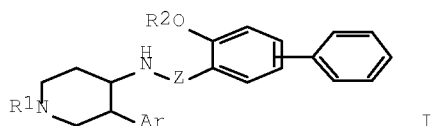
A2

2006
0804

OTHER SOURCE(S): MARPAT 146:206214

ED Entered STN: 09 Feb 2007

GI



AB Title compds. [I; Ar = (substituted) Ph; R1 = H, (substituted) hydrocarbyl, acyl, heterocyclyl; R2 = H, (substituted) alkyl, cycloalkyl; Z = (alkyl-substituted) methylene; all rings may be further substituted; with 2 specifically excluded compds.], were prepared Thus, N-[2-[(3R,4S)-4-[[[4'-ethynyl-4-methoxybiphenyl-3-yl)methyl]amino]-3-phenylpiperidin-1-yl]-2-oxoethyl]acetamide (general preparation given) showed radioligand receptor binding inhibitory activity in IM-9 human lymphoblast cells with IC50 = 0.015 nM.

IT 923280-44-8P 923280-84-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

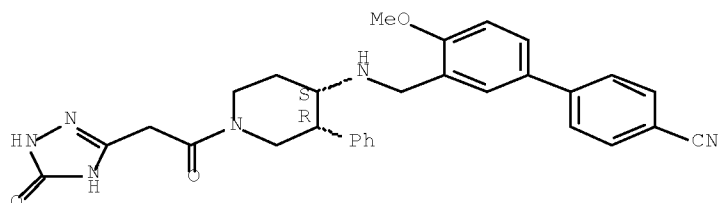
(Preparation); USES (Uses)

(preparation of biphenylmethylaminopiperidines as tachykinin receptor antagonists)

RN 923280-44-8 HCAPLUS

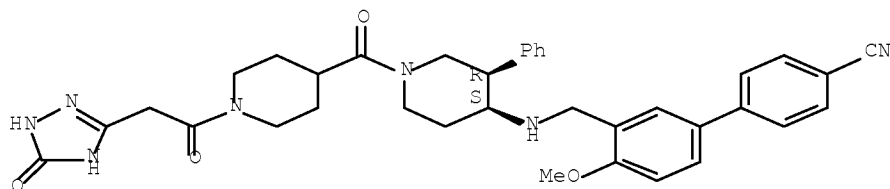
CN [1,1'-Biphenyl]-4-carbonitrile, 3'-[[[(3R,4S)-1-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-3-phenyl-4-piperidinyl]amino]methyl]-4'-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



RN 923280-84-6 HCAPLUS
 CN [1,1'-Biphenyl]-4-carbonitrile, 3'-[[[(3R,4S)-1-[[1-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-4-piperidinyl]carbonyl]-3-phenyl-4-piperidinyl]amino]methyl]-4'-methoxy-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1, 63
 IT 923280-00-6P 923280-01-7P 923280-03-9P 923280-04-0P
 923280-05-1P 923280-06-2P 923280-07-3P 923280-08-4P
 923280-09-5P 923280-10-8P 923280-11-9P 923280-12-0P
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 923281-42-9P 923281-43-0P 923281-44-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)
 (preparation of biphenylmethylaminopiperidines as tachykinin
 receptor antagonists)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE

10/593,543

FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

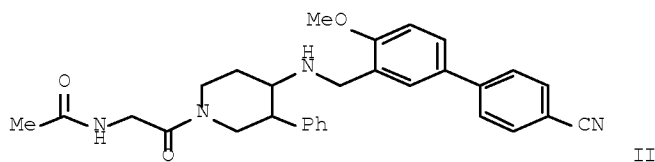
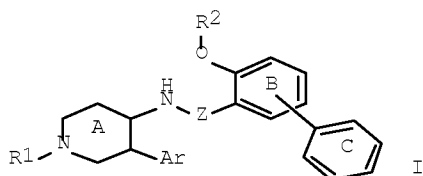
L28 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:705062 HCAPLUS Full-text
DOCUMENT NUMBER: 147:118148
TITLE: Piperidine derivatives as tachykinin receptor
antagonists and their preparation,
pharmaceutical compositions and use in the
treatment of lower urinary tract symptoms,
gastrointestinal and central nerve disease
INVENTOR(S): Ikeura, Yoshinori; Shirai, Junya; Yoshikawa,
Takeshi; Sakauchi, Nobuki
PATENT ASSIGNEE(S): Japan
SOURCE: U.S. Pat. Appl. Publ., 89pp., Cont.-in-part of
Appl. No. PCT/JP2006/315899.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2007149570	A1	20070628	US 2007-701380	2007 0202
WO 2007015588	A1	20070208	WO 2006-JP315899	2006 0804

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT,
LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG,
SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL,
SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2005-227183 A
2005
0804
WO 2006-JP315899 A2
2006
0804

OTHER SOURCE(S): MARPAT 147:118148
ED Entered STN: 29 Jun 2007
GI



AB The invention relates to a compound represented by formula I or a salt thereof. Compds. of formula I wherein Ar is (un)substituted Ph; R1 is H, (un)substituted hydrocarbon, acyl and (un)substituted heterocyclic group; R2 is H, (un)substituted C1-6 alkyl and (un)substituted C3-6 cycloalkyl; Z is (un)substituted methylene; ring A is a (un)substituted piperidine ring; ring B and ring C are (un)substituted benzene; R2 optionally form a ring together with the adjacent substituent on the ring B; and their salts thereof, are claimed. The compound of the invention has a superior tachykinin receptor antagonistic action, particularly a substance P receptor antagonistic action, and is useful as a pharmaceutical agent, for example, tachykinin receptor antagonist, an agent for the prophylaxis or treatment of lower urinary tract symptoms, gastrointestinal diseases or central nerve diseases. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their tachykinin receptor antagonistic activity. From the assay, it was determined that compound II exhibited an IC50 value of 0.019 nM.

IT 923280-44-8P 923280-84-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

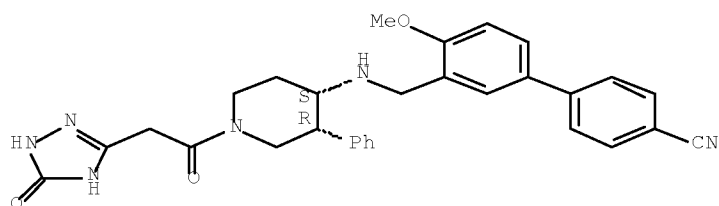
(Preparation); USES (Uses)

(drug candidate; preparation of piperidine derivs. as tachykinin receptor antagonists and their use in the treatment of lower urinary tract symptoms, gastrointestinal and central nerve disease)

RN 923280-44-8 HCAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'--[[[(3R,4S)-1-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-3-phenyl-4-piperidinyl]amino]methyl]-4'-methoxy- (CA INDEX NAME)

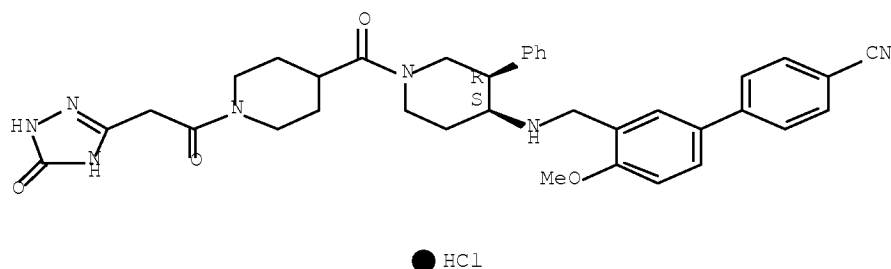
Absolute stereochemistry.



RN 923280-84-6 HCAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'--[[[(3R,4S)-1-[1-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-4-piperidinyl]carbonyl]-3-phenyl-4-piperidinyl]amino]methyl]-4'-methoxy-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



INCL 514317000; 546223000

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 23

IT	923280-06-2P	923280-07-3P	923280-08-4P	923280-09-5P
	923280-10-8P	923280-11-9P	923280-12-0P	923280-13-1P
	923280-14-2P	923280-15-3P	923280-16-4P	923280-17-5P
	923280-18-6P	923280-19-7P	923280-20-0P	923280-21-1P
	923280-22-2P	923280-23-3P	923280-24-4P	923280-25-5P
	923280-26-6P	923280-27-7P	923280-30-2P	923280-31-3P
	923280-32-4P	923280-33-5P	923280-34-6P	923280-35-7P
	923280-36-8P	923280-39-1P	923280-40-4P	923280-41-5P
	923280-42-6P	923280-43-7P	923280-44-8P	923280-45-9P
	923280-46-0P	923280-47-1P	923280-48-2P	923280-49-3P
	923280-50-6P	923280-51-7P	923280-52-8P	923280-53-9P
	923280-54-0P	923280-55-1P	923280-56-2P	923280-57-3P
	923280-58-4P	923280-59-5P	923280-60-8P	923280-61-9P
	923280-62-0P	923280-65-3P	923280-66-4P	923280-67-5P
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	942604-16-2P	942604-17-3P	942604-18-4P	942604-19-5P
	942604-20-8P	942604-22-0P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(drug candidate; preparation of piperidine derivs. as tachykinin receptor antagonists and their use in the treatment of lower urinary tract symptoms, gastrointestinal and central nerve disease)

L28 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1155411 HCAPLUS Full-text

DOCUMENT NUMBER: 145:471540

TITLE: Preparation of piperidine derivatives as tachykinin receptor antagonists

INVENTOR(S): Nagaoka, Naomi; Marunaka, Shigeyuki; Fukuta, Makoto

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

10/593,543

SOURCE: PCT Int. Appl., 323pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006115285	A1	20061102	WO 2006-JP308919	2006 0421

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2005-124335 A
 2005
 0421

OTHER SOURCE(S): MARPAT 145:471540

ED Entered STN: 03 Nov 2006

AB The title compds. (no biol. data) are prepared This document discloses a pharmaceutical composition comprising N-(2-[(3R,4S)-4-((2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl)amino)-3-phenylpiperidin-1-yl]-2-oxoethyl)acetamide (I), a salt or a prodrug thereof, a sugar and a hydrophilic water-insol. substance. Thus, N-(2-[(3R,4S)-4-((2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl)amino)-3-phenylpiperidin-1-yl]-2-oxoethyl)acetamide was prepared in 3 steps from (3R,4S)-4-amino-3-phenylpiperidine-1-carboxylic acid tert-Bu ester and 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde. Formulations containing I are given. Tablets containing I showed high elution stability.

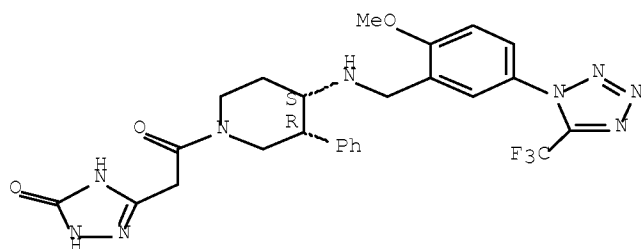
IT 632352-46-6F

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of piperidine derivs. as tachykinin receptor antagonists)

RN 632352-46-6 HCAPLUS

CN 4-Piperidinamine, 1-[(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-N-[[2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]-3-phenyl-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 27, 63

IT 632352-42-2P 632352-43-3P 632352-44-4P 632352-45-5P
~~632352-46-6P~~ 632352-47-7P 913092-57-6P 913092-58-7P
 913092-59-8P 913092-60-1P 913092-61-2P 913092-62-3P
 913092-63-4P 913092-65-6P 913092-68-9P 913092-70-3P
 913976-54-2P 913976-55-3P 913976-56-4P 913976-57-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of piperidine derivs. as tachykinin receptor
 antagonists)

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L28 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:272922 HCAPLUS Full-text

DOCUMENT NUMBER: 144:331270

TITLE: Preparation of piperidine derivatives as
 tachykinin receptor antagonists

INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshi;
 Nishida, Haruyuki; Shirai, Junya; Sakauchi,
 Nobuki

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 222 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2006030975	A1	20060323	WO 2005-JP17538	2005 0916

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
 CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
 ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
 KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY,
 MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM,
 PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
 ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
 HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI,
 SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
 NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL,
 SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1790636	A1	20070530	EP 2005-785870	2005 0916
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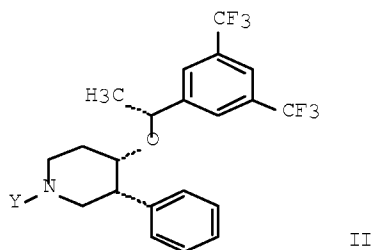
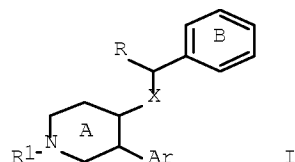
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
 HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE,
 SI, SK, TR

US 2006142337	A1	20060629	US 2006-358070	2006 0222
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PRIORITY APPLN. INFO.: JP 2004-272639 A
 2004
 0917

WO 2005-JP17538 W
 2005

OTHER SOURCE(S): MARPAT 144:331270
 ED Entered STN: 24 Mar 2006
 GI



AB Title compds. I [Ar = (un)substituted aryl; R = alkyl; R1 = H, (un)substituted hydrocarbon, acyl, etc.; X = O, (un)substituted imino; ring A = piperidine ring which may have an addnl. substituent; ring B = substituted benzene] were prepared. For example, compound II [Y = H]·HCl was prepared from (3R,4S)-4-hydroxy-3-phenylpiperidine-1-carboxylic acid tert-Bu ester in a multistep process. In radioligand receptor binding inhibition assays, compound II [Y = (1-acetylpiperidin-4-yl)carbonyl] exhibited the IC50 value of 0.026 nM. Compds. I are claimed useful for the treatment of irritable bowel disease, depression, etc.

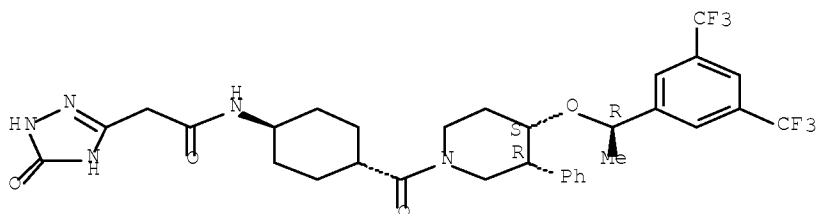
IT 880092-22-8P 880092-48-8P 880092-89-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)
 (preparation of piperidine derivs. as tachykinin receptor
 antagonists for treatment of irritable bowel disease,
 depression, etc.)

RN 880092-22-8 HCAPLUS

CN 1H-1,2,4-Triazole-3-acetamide, N-[trans-4-[(3R,4S)-4-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethoxy]-3-phenyl-1-piperidinyl]carbonyl]cyclohexyl]-2,5-dihydro-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.

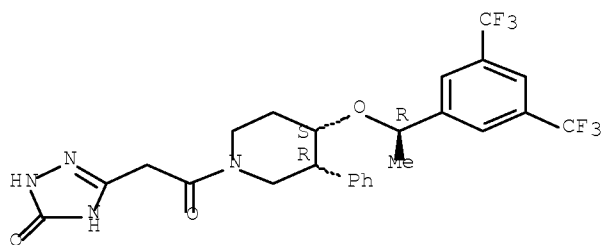


RN 880092-48-8 HCAPLUS

10/593,543

CN Piperidine, 4-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethoxy]-1-
 [(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-3-phenyl-,
 (3R,4S)- (9CI) (CA INDEX NAME)

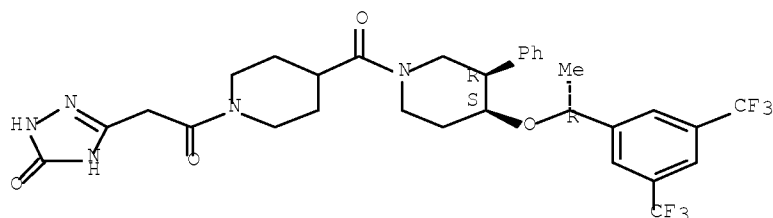
Absolute stereochemistry.



RN 880092-89-7 HCAPLUS

CN Piperidine, 4-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethoxy]-1-
 [[1-[(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-4-
 piperidinyl]carbonyl]-3-phenyl-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CC 27-16 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 63

IT	880091-70-3P	880091-71-4P	880091-72-5P	880091-73-6P
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10/593,543

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880093-68-5P	880093-72-1P	880093-73-2P	880093-74-3P
880093-75-4P	880093-76-5P	880093-77-6P	880093-78-7P
880093-79-8P	880093-80-1P	880094-57-5P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of piperidine derivs. as tachykinin receptor
 antagonists for treatment of irritable bowel disease,
 depression, etc.)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L28 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1106854 HCAPLUS Full-text

DOCUMENT NUMBER: 143:387043

TITLE: Preparation of triazolone derivatives as MMP
 inhibitors for the treatment of asthma

INVENTOR(S): Eriksson, Anders; Lepistoe, Matti

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005095362	A1	20051013	WO 2005-SE448	

2005
0329

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
 CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
 ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
 KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
 MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL,
 PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN,
 TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
 ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH,
 CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT,
 LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,
 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1732903 A1 20061220 EP 2005-722275

2005
0329

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,
 HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI,

10/593,543

SK, TR

CN 1960979 A 20070509 CN 2005-80017672

2005
0329

JP 2007530672 T 20071101 JP 2007-506108

2005
0329

US 2007219217 A1 20070920 US 2006-593543

2006
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IN 2006DN05541 A 20070803 IN 2006-DN5541

2006
0922

PRIORITY APPLN. INFO.:

SE 2004-850 A

2004
0330

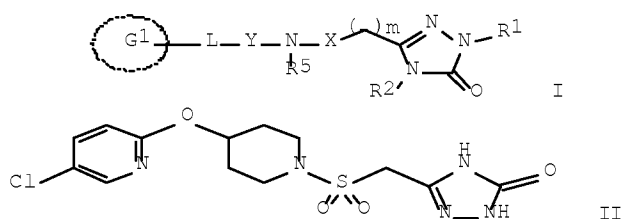
WO 2005-SE448 W

2005
0329

OTHER SOURCE(S): MARPAT 143:387043

ED Entered STN: 14 Oct 2005

GI



AB Title compds. represented by the formula I [wherein R1, R2 = independently H, Cl or (un)substituted alkyl; R3, R4 = independently H, Cl, (un)substituted alkyl or R3R4 = (hetero)cyclcyl; m = 1-3; X = SO, SO2 or CO; R5 = H, Cl or (un)substituted alkyl; Y = a direct bond or NR5Y = azacyclic ring; L = a direct bond, O, amino, etc.; G1 = (un)substituted cyclic ring; and pharmaceutically acceptable salts or solvates thereof] were prepared as metalloproteinase (MMP) inhibitors. For example, II was provided in a multi-step synthesis starting from the reaction of 5-(chloromethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one with benzyl mercaptan. I were tested for inhibition of human MMP12, MMP9, MMP2, MMP19, MMP14 and MMP8. I and their pharmaceutical compns. are useful as MMP inhibitors for the treatment of asthma or other MMP-12 and/or MMP-9 mediated diseases (no data).

IT 866602-62-2P, N,N-Diethyl-

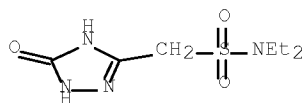
1-(5-oxo-4,5-dihydro-1H-1,2,4-triazol-3-yl) methanesulfonamide

RL: BYP (Byproduct); PREP (Preparation)

(preparation of triazolone derivs. as MMP inhibitors for treatment of asthma)

RN 866602-62-2 HCAPLUS

CN 1H-1,2,4-Triazole-3-methanesulfonamide, N,N-diethyl-2,5-dihydro-5-oxo- (CA INDEX NAME)



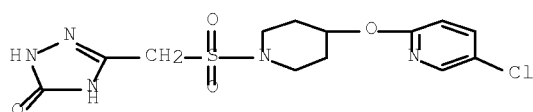
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3-one 866602-79-1P, 5-[
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 3-[[4-(4-Chlorophenyl)
 piperidin-1-yl]sulfonyl]
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 3-one 866602-81-5P, 5-[
 3-[[4-(4-Chlorophenyl)
 piperazin-1-yl]sulfonyl]
 propyl]-2,4-dihydro-
 3H-1,2,4-triazol-
 3-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)
 (preparation of triazolone derivs. as MMP inhibitors for treatment
 of asthma)

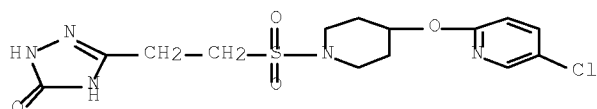
RN 866602-59-7 HCAPLUS

CN Piperidine, 4-[(5-chloro-2-pyridinyl)oxy]-1-[[2-(2,5-dihydro-5-oxo-
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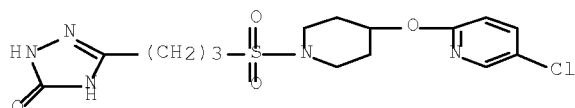
RN 866602-63-3 HCAPLUS

CN Piperidine, 4-[(5-chloro-2-pyridinyl)oxy]-1-[[2-(2,5-dihydro-5-oxo-
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RN 866602-67-7 HCAPLUS

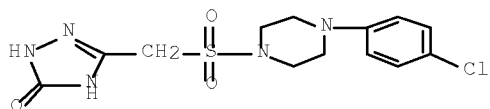
CN Piperidine, 4-[(5-chloro-2-pyridinyl)oxy]-1-[[3-(2,5-dihydro-5-oxo-
 1H-1,2,4-triazol-3-yl)propyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 866602-71-3 HCAPLUS

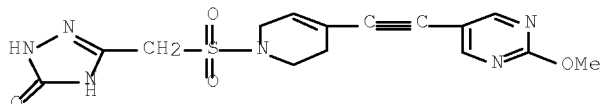
CN 3H-1,2,4-Triazol-3-one, 5-[[[4-(4-chlorophenyl)-1-
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10/593,543



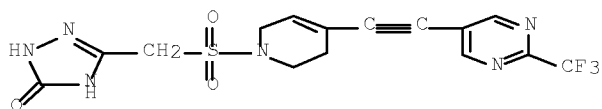
RN 866602-72-4 HCAPLUS

CN Pyridine, 1-[[[(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)methyl]sulfonyl]-1,2,3,6-tetrahydro-4-[(2-methoxy-5-pyrimidinyl)ethynyl]]- (9CI) (CA INDEX NAME)



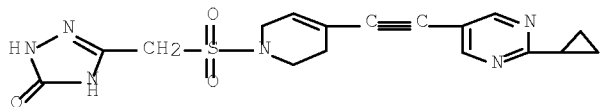
RN 866602-73-5 HCAPLUS

CN Pyridine, 1-[[[(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)methyl]sulfonyl]-1,2,3,6-tetrahydro-4-[[2-(trifluoromethyl)-5-pyrimidinyl]ethynyl]]- (9CI) (CA INDEX NAME)



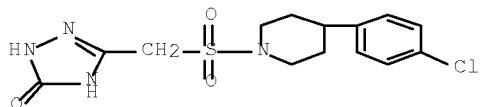
RN 866602-74-6 HCAPLUS

CN Pyridine, 4-[(2-cyclopropyl-5-pyrimidinyl)ethynyl]-1-[[[(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)methyl]sulfonyl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)



RN 866602-75-7 HCAPLUS

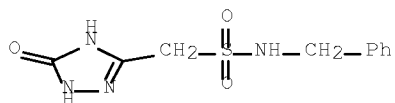
CN Piperidine, 4-(4-chlorophenyl)-1-[[[(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)methyl]sulfonyl]]- (9CI) (CA INDEX NAME)



RN 866602-76-8 HCAPLUS

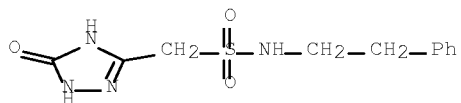
10/593,543

CN 1H-1,2,4-Triazole-3-methanesulfonamide, 2,5-dihydro-5-oxo-N-(phenylmethyl)- (CA INDEX NAME)



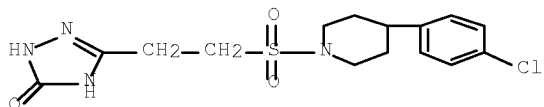
RN 866602-77-9 HCAPLUS

CN 1H-1,2,4-Triazole-3-methanesulfonamide, 2,5-dihydro-5-oxo-N-(2-phenylethyl)- (CA INDEX NAME)



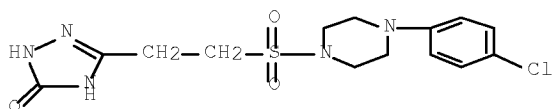
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CN Piperidine, 4-(4-chlorophenyl)-1-[[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)ethyl]sulfonyl]- (9CI) (CA INDEX NAME)



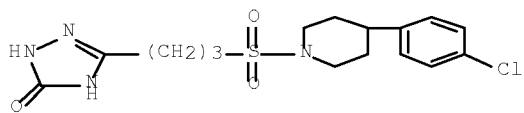
RN 866602-79-1 HCAPLUS

CN Piperazine, 1-(4-chlorophenyl)-4-[[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)ethyl]sulfonyl]- (9CI) (CA INDEX NAME)

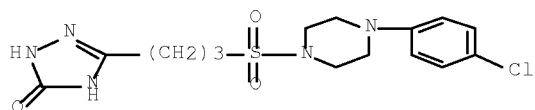


RN 866602-80-4 HCAPLUS

CN Piperidine, 4-(4-chlorophenyl)-1-[[3-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)propyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 866602-81-5 HCAPLUS
 CN Piperazine, 1-(4-chlorophenyl)-4-[[3-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)propyl]sulfonyl]- (9CI) (CA INDEX NAME)



IC ICM C07D249-08
 ICS A61K031-4196; A61P011-06; A61P011-00; C07D213-36; C07C311-50
 CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 63
 IT 866602-62-2P, N,N-Diethyl-1-(5-oxo-4,5-dihydro-1H-1,2,4-triazol-3-yl)methanesulfonamide
 RL: BYP (Byproduct); PREP (Preparation)
 (preparation of triazolone derivs. as MMP inhibitors for treatment of asthma)
 IT 866602-59-7P, 5-[[[4-[(5-Chloropyridin-2-yl)oxy]piperidin-1-yl]sulfonyl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one 866602-63-3P, 5-[2-[[4-[(5-Chloropyridin-2-yl)oxy]piperidin-1-yl]sulfonyl]ethyl]-2,4-dihydro-3H-1,2,4-triazol-3-one 866602-67-7P, 5-[3-[[4-[(5-Chloropyridin-2-yl)oxy]piperidin-1-yl]sulfonyl]propyl]-2,4-dihydro-3H-1,2,4-triazol-3-one 866602-71-3P, 5-[[[4-(4-Chlorophenyl)piperazin-1-yl]sulfonyl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one 866602-72-4P, 5-[[[4-[(2-Methoxypyrimidin-5-yl)ethynyl]-3,6-dihydropyridin-1(2H)-yl]sulfonyl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one 866602-73-5P, 5-[[[4-[[2-(Trifluoromethyl)pyrimidin-5-yl]ethynyl]-3,6-dihydropyridin-1(2H)-yl]sulfonyl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one 866602-74-6P, 5-[[[4-[(2-Cyclopropylpyrimidin-5-yl)ethynyl]-3,6-dihydropyridin-1(2H)-yl]sulfonyl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one 866602-75-7P, 5-[[[

4-(4-Chlorophenyl)piperidin-
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 3-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of triazolone derivs. as MMP inhibitors for treatment
 of asthma)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L28 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:972057 HCAPLUS Full-text

DOCUMENT NUMBER: 140:27765

TITLE: Preparation of piperidine derivatives as
 tachykinin receptor antagonists for treatment
 of frequent urination and urinary incontinence

INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshi;
 Tarui, Naoki; Shirai, Junya; Yamashita,
 Masayuki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 264 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003101964	A1	20031211	WO 2003-JP6754	

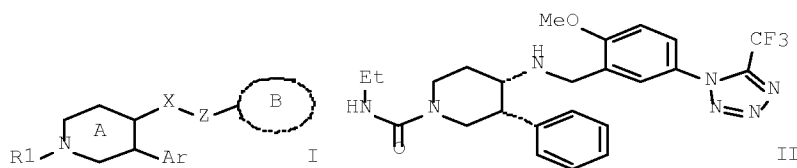
2003
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10/593,543

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KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN,
MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD,
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NO 2004005701 A 20050216 NO 2004-5701 2004
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PRIORITY APPLN. INFO.: JP 2002-159338 A 2002
0531
JP 2003-17885 A 2003
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WO 2003-JP6754 W 2003
0529

OTHER SOURCE(S): MARPAT 140:27765
ED Entered STN: 14 Dec 2003
GI



AB The title compds. I [wherein Ar = (un)substituted aryl, aralkyl, or heteroaryl; R1 = H, acyl, (un)substituted hydrocarbyl, or heterocyclyl; X = O or (un)substituted NH; Z = (un)substituted CH2; ring A = (un)substituted piperidine; ring B = (un)substituted aryl; with exclusions] or prodrugs or salts thereof are prepared I have excellent tachykinin receptor antagonistic activity, and are useful for the treatment of frequent urination and urinary incontinence (no data). For example, the compound II•xHCl was prepared in a multi-step synthesis. II showed antagonistic activity with IC50 of 0.025 nM against human substance P receptor. Formulations containing I as an active ingredient were also described.

IT 632352-46-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

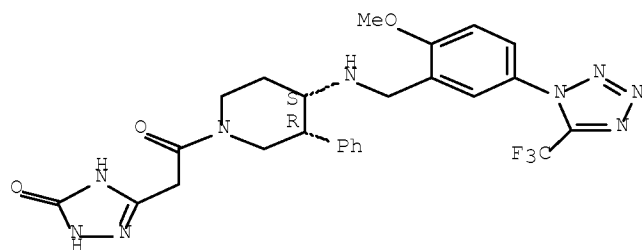
(Preparation); USES (Uses)

(drug candidate; preparation of piperidine derivs. as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence)

RN 632352-46-6 HCAPLUS

CN 4-Piperidinamine, 1-[(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-N-[[2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]-3-phenyl-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IC ICM C07D211-46

ICS C07D211-58; C07D401-06; C07D405-06; C07D409-06; C07D417-12; C07D401-12; C07D405-12; C07D409-12; A61K031-445; A61K031-451; A61K031-4525; A61K031-454; A61K031-4545; A61K031-4468; A61K031-5377; A61K031-496; A61P001-00; A61P001-08; A61P001-16

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 63

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632352-38-6P	632352-39-7P	632352-40-0P	632352-41-1P
632352-42-2P	632352-43-3P	632352-44-4P	632352-45-5P
632352-46-6P	632352-47-7P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)

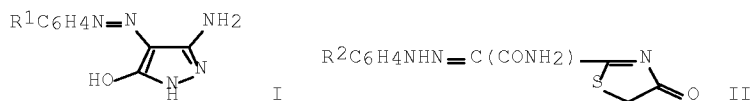
(drug candidate; preparation of piperidine derivs. as tachykinin
receptor antagonists for treatment of frequent urination and
urinary incontinence)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L28 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1988:492870 HCAPLUS Full-text
DOCUMENT NUMBER: 109:92870
TITLE: Synthesis of azoles and fused azoles from
 α -arylhydrazononitriles
AUTHOR(S): Ibrahim, Mohamed Kamal Ahmed; El-Moghayar,
Mohamed Riffat Hamza
CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt

SOURCE: Indian Journal of Chemistry, Section B:
Organic Chemistry Including Medicinal
Chemistry (1987), 26B(9), 832-5
CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:92870
ED Entered STN: 17 Sep 1988
GI

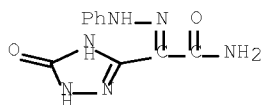


AB Cyanoacetamides $R^1C_6H_4NHN:C(CONH_2)CN$ ($R^1 = H, Me, Cl$) were heated with N_2H_4 to give pyrazoles I. Also prepared, from cyanoacetamides and $HSCH_2CO_2H$, were thiazolinones II ($R^2 = Cl, CO_2H$).

IT 115998-45-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 115998-45-3 HCAPLUS

CN 1H-1,2,4-Triazole-3-acetamide, 2,5-dihydro-5-oxo- α -(phenylhydrazono)- (9CI) (CA INDEX NAME)



CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 3656-10-8P 19197-14-9P 76043-28-2P 115998-41-9P
115998-42-0P 115998-43-1P 115998-45-3P 115998-46-4P
115998-47-5P 115998-48-6P 115998-49-7P 115998-50-0P
115998-51-1P 116015-87-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L28 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1977:468245 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 87:68245

ORIGINAL REFERENCE NO.: 87:10865a,10868a

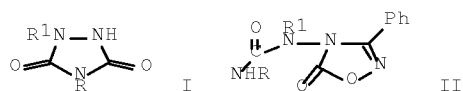
TITLE: Structural elucidation of the reaction products from benzonitrile oxide and 1,4-disubstituted urazoles

AUTHOR(S): Hoyer, Georg A.; Boroschewski, Gerhard

CORPORATE SOURCE: Forschungslab., Schering A.-G., Berlin, Fed. Rep. Ger.

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1977), 310(3), 255-9
CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE: Journal
LANGUAGE: German
ED Entered STN: 12 May 1984
GI



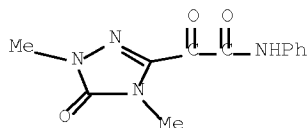
AB The reaction of benzonitrile oxide with urazoles (I; R = R1 = Me; R = Ph, R1 = Me; R = Me, R1 = Ph; R = R1 = Ph) does not yield the corresponding 1,4-disubstituted 3-(phenylcarbamoyloxy)-Δ2-1,2,4-triazolin-5-ones as previously reported (Sunderdiek, R. et al, 1974), but leads to oxadiazolinones (II; R, R1 as above).

IT 63425-53-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(oxadiazolinones vs., as reaction products of benzonitrile oxide and urazoles)

RN 63425-53-6 HCAPLUS

CN 1H-1,2,4-Triazole-3-acetamide, 4,5-dihydro-1,4-dimethyl-α,5-dioxo-N-phenyl- (CA INDEX NAME)



CC 28-11 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 53959-02-7 53959-03-8 53959-05-0 63425-53-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(oxadiazolinones vs., as reaction products of benzonitrile oxide and urazoles)

FULL SEARCH HISTORY

=> d his nofile

(FILE 'HOME' ENTERED AT 11:41:04 ON 24 MAR 2008)

FILE 'HCAPLUS' ENTERED AT 11:41:16 ON 24 MAR 2008

E US20070219217/PN

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D ALL
SEL RN

FILE 'REGISTRY' ENTERED AT 11:42:04 ON 24 MAR 2008

L2 49 SEA ABB=ON PLU=ON (100-53-8/BI OR 100991-09-1/BI OR
14001-66-2/BI OR 146480-36-6/BI OR 14874-70-5/BI OR
16110-09-1/BI OR 177984-27-9/BI OR 177984-28-0/BI OR
252742-72-6/BI OR 260441-44-9/BI OR 2899-66-3/BI OR
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866602-90-6/BI OR 9004-06-2/BI)
D SCAN

FILE 'LREGISTRY' ENTERED AT 11:42:29 ON 24 MAR 2008

L3 STR

FILE 'REGISTRY' ENTERED AT 11:44:16 ON 24 MAR 2008

L4 50 SEA SSS SAM L3
D 1-2 STR RSD
E 16.515.2/RID
E 16.515/RID

FILE 'LREGISTRY' ENTERED AT 11:45:37 ON 24 MAR 2008

L5 STR L3

FILE 'REGISTRY' ENTERED AT 11:56:45 ON 24 MAR 2008

L6 1 SEA SSS SAM L5
D SCAN

D QUE STAT

L7 27 SEA SSS FUL L5

SAV L7 JAI543REG/A

L8 15 SEA ABB=ON PLU=ON L7 AND L2
D SCAN

FILE 'STNGUIDE' ENTERED AT 11:58:45 ON 24 MAR 2008

FILE 'HCAPLUS' ENTERED AT 12:21:07 ON 24 MAR 2008

L9 10 SEA ABB=ON PLU=ON L7
L10 1 SEA ABB=ON PLU=ON L8
L11 10 SEA ABB=ON PLU=ON L9 OR L10

FILE 'REGISTRY' ENTERED AT 12:21:48 ON 24 MAR 2008

SET SMARTSELECT ON

L12 SEL PLU=ON L7 1- NAME : 15 TERMS
SET SMARTSELECT OFF

FILE 'HCAPLUS' ENTERED AT 12:21:51 ON 24 MAR 2008

10/593,543

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L13      1 SEA ABB=ON  PLU=ON  L12
          D SCAN
L14      10 SEA ABB=ON  PLU=ON  L11 OR L13
          SAV TEMP L14 JAI543HCP/A
          D L1 AU
          E ERIKSSON A/AU
          E ERIKSSON A?/AU
L15      491 SEA ABB=ON  PLU=ON  ERIKSSON A?/AU
          D L1 AU
          E LEPISTOE M/AU
L16      20 SEA ABB=ON  PLU=ON  LEPISTOE M?/AU
L17      6 SEA ABB=ON  PLU=ON  L15 AND L16
L18      1 SEA ABB=ON  PLU=ON  L14 AND ((L15 OR L16))
          D L1 PA
          E ASTRAZENECA/PA
L19      QUE ABB=ON  PLU=ON  ASTRAZENECA?/PA,CS,SO,CO
L20      24 SEA ABB=ON  PLU=ON  ((L15 OR L16)) AND L19
          D PRAI L1
L21      24 SEA ABB=ON  PLU=ON  L17 OR L18 OR L20

FILE 'MEDLINE, BIOSIS, DRUGU, EMBASE' ENTERED AT 12:27:59 ON 24
MAR 2008
L22      0 SEA ABB=ON  PLU=ON  L17
L23      0 SEA ABB=ON  PLU=ON  L7
L24      1926 SEA ABB=ON  PLU=ON  ((L15 OR L16))
L25      20 SEA ABB=ON  PLU=ON  L24 AND L19
L26      20 SEA ABB=ON  PLU=ON  L22 OR L25
          SAV TEMP L23 JAI543MULT/A
          SAV TEMP L26 JAI543MULTIN/A

FILE 'HCAPLUS' ENTERED AT 12:30:40 ON 24 MAR 2008
          SAV TEMP L21 JAI543HCPIN/A

FILE 'STNGUIDE' ENTERED AT 12:31:21 ON 24 MAR 2008
          D QUE L21
          D QUE L26

FILE 'HCAPLUS, MEDLINE, BIOSIS, DRUGU, EMBASE' ENTERED AT
12:32:41 ON 24 MAR 2008
L27      29 DUP REM L21 L26 (15 DUPLICATES REMOVED)
          ANSWERS '1-24' FROM FILE HCAPLUS
          ANSWERS '25-27' FROM FILE BIOSIS
          ANSWER '28' FROM FILE DRUGU
          ANSWER '29' FROM FILE EMBASE
          D L27 1-29 IBIB ED AB
          D QUE STAT L14
          D QUE STAT L23
L28      10 DUP REM L14 L23 (0 DUPLICATES REMOVED)
          ANSWERS '1-10' FROM FILE HCAPLUS
          D L28 IBIB ED ABS HITSTR HITIND
          D L28 2-10 IBIB ED ABS HITSTR HITIND
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